

L Number	Hits	Search Text	DB	Time stamp
1	792617	hydrogen sulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 17:53
2	7098	hydrogen near sulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 17:53
3	1758	hydrogensulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 17:53
4	4176	methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 17:54
5	7853	((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 18:07
6	8528	hydrogensulfate or (hydrogen near sulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 17:56
7	10945	((methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate) or ((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate )	USPAT; US-PGPUB; DERWENT	2004/06/16 17:56
8	344	((hydrogensulfate or (hydrogen near sulfate)) same ((methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate) or ((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate ))	USPAT; US-PGPUB; DERWENT	2004/06/16 17:56
9	124	((hydrogensulfate or (hydrogen near sulfate)) same ((methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate) or ((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate ))) and pharmaceutical	USPAT; US-PGPUB; DERWENT	2004/06/16 17:56
10	121	((((hydrogensulfate or (hydrogen near sulfate)) same ((methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate) or ((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate ))) and pharmaceutical) and (salt or salts)	USPAT; US-PGPUB; DERWENT	2004/06/16 17:57
29	7853	((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near (sulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 18:08
30	110	((hydrogensulfate or (hydrogen near sulfate)) same (methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 18:08
31	56	((hydrogensulfate or (hydrogen near sulfate)) same (methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate)) and pharmaceutical	USPAT; US-PGPUB; DERWENT	2004/06/16 18:09
32	56	((((hydrogensulfate or (hydrogen near sulfate)) same (methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate)) and pharmaceutical) and salt	USPAT; US-PGPUB; DERWENT	2004/06/16 18:24
41	3	isopropylsulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 18:25
42	84	isopropyl near sulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 18:25
43	0	((isopropyl near sulfate) same (hydrogensulfate or (hydrogen near sulfate)))	USPAT; US-PGPUB; DERWENT	2004/06/16 18:25
44	7	((isopropyl near sulfate) and (hydrogensulfate or (hydrogen near sulfate)))	USPAT; US-PGPUB; DERWENT	2004/06/16 18:26
45	10	isopropylsulfate or (((isopropyl near sulfate) and (hydrogensulfate or (hydrogen near sulfate))))	USPAT; US-PGPUB; DERWENT	2004/06/16 18:26

L Number	Hits	Search Text	DB	Time stamp
1	792617	hydrogen sulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 17:53
2	7098	hydrogen near sulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 17:53
3	1758	hydrogensulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 17:53
4	4176	methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 17:54
5	7853	(methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 18:07
6	8528	hydrogensulfate or (hydrogen near sulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 17:56
7	10945	(methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate) or ((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate )	USPAT; US-PGPUB; DERWENT	2004/06/16 17:56
8	344	(hydrogensulfate or (hydrogen near sulfate)) same ((methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate) or ((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate ))	USPAT; US-PGPUB; DERWENT	2004/06/16 17:56
9	124	((hydrogensulfate or (hydrogen near sulfate)) same ((methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate) or ((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate ))) and pharmaceutical	USPAT; US-PGPUB; DERWENT	2004/06/16 17:56
10	121	((((hydrogensulfate or (hydrogen near sulfate)) same ((methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate) or ((methyl or ethyl or isopropyl or butyl or pentyl or propyl) near sulfate ))) and pharmaceutical) and (salt or salts)	USPAT; US-PGPUB; DERWENT	2004/06/16 17:57
29	7853	(methyl or ethyl or isopropyl or butyl or pentyl or propyl) near (sulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 18:08
30	110	(hydrogensulfate or (hydrogen near sulfate)) same (methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 18:08
31	56	((hydrogensulfate or (hydrogen near sulfate)) same (methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate)) and pharmaceutical	USPAT; US-PGPUB; DERWENT	2004/06/16 18:09
32	56	((((hydrogensulfate or (hydrogen near sulfate)) same (methylsulfate or ethylsulfate or isopropylsulfate or butylsulfate)) and pharmaceutical) and salt	USPAT; US-PGPUB; DERWENT	2004/06/16 18:11

L Number	Hits	Search Text	DB	Time stamp
1	8528	hydrogensulfate or (hydrogen near sulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 16:46
2	8130	alkyl near sulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 16:46
3	52	(hydrogensulfate or (hydrogen near sulfate)) same (alkyl near sulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 16:56
4	231	(hydrogensulfate or (hydrogen near sulfate)) and (alkyl near sulfate) and salt	USPAT; US-PGPUB; DERWENT	2004/06/16 16:57
5	250	(hydrogensulfate or (hydrogen near sulfate)) and (alkyl near sulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 16:58
6	1926	alkylsulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 16:58
7	9690	(alkyl near sulfate) or alkylsulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 16:58
8	63	(hydrogensulfate or (hydrogen near sulfate)) same ((alkyl near sulfate) or alkylsulfate)	USPAT; US-PGPUB; DERWENT	2004/06/16 16:59
9	55	((hydrogensulfate or (hydrogen near sulfate)) same ((alkyl near sulfate) or alkylsulfate)) and (salt or salts)	USPAT; US-PGPUB; DERWENT	2004/06/16 16:59
10	16	((hydrogensulfate or (hydrogen near sulfate)) same ((alkyl near sulfate) or alkylsulfate)) same (salt or salts)	USPAT; US-PGPUB; DERWENT	2004/06/16 16:59

L Number	Hits	Search Text	DB	Time stamp
1	4	((("6573381") or ("4529596") or ("4847265") or ("6429210"))).PN.	USPAT	2004/06/16 14:42
2	2	((("20030114479") or ("20030225129"))).PN.	USPAT; US-PGPUB	2004/06/16 15:22
3	597	clopidogrel	USPAT; US-PGPUB; DERWENT	2004/06/16 15:23
4	158893	l3and sulfuric	USPAT; US-PGPUB; DERWENT	2004/06/16 15:24
5	212	clopidogrel and sulfuric	USPAT; US-PGPUB; DERWENT	2004/06/16 15:24
6	678	alkyl near sulfuric	USPAT; US-PGPUB; DERWENT	2004/06/16 15:25
7	1	clopidogrel and (alkyl near sulfuric)	USPAT; US-PGPUB; DERWENT	2004/06/16 15:31
8	8130	sulfate near alkyl	USPAT; US-PGPUB; DERWENT	2004/06/16 15:31
9	8	(sulfate near alkyl) and clopidogrel	USPAT; US-PGPUB; DERWENT	2004/06/16 15:34
10	359	thienopyridine	USPAT; US-PGPUB; DERWENT	2004/06/16 15:34
11	517	thieno same pyridine	USPAT; US-PGPUB; DERWENT	2004/06/16 15:35
12	804	thienopyridine or (thieno same pyridine)	USPAT; US-PGPUB; DERWENT	2004/06/16 15:35
13	7	(sulfate near alkyl) and (thienopyridine or (thieno same pyridine))	USPAT; US-PGPUB; DERWENT	2004/06/16 15:35
14	7	((sulfate near alkyl) and (thienopyridine or (thieno same pyridine))) not ((sulfate near alkyl) and clopidogrel)	USPAT; US-PGPUB; DERWENT	2004/06/16 15:40
15	95	tetrahydrothieno same (pyridine or pyridyl)	USPAT; US-PGPUB; DERWENT	2004/06/16 15:41
16	0	(tetrahydrothieno same (pyridine or pyridyl)) and (sulfate near alkyl)	USPAT; US-PGPUB; DERWENT	2004/06/16 15:51
17	2547	hemisulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 15:51
18	1	clopidogrel same hemisulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 15:53
19	1	clopidogrel near hemisulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 15:53
20	5	clopidogrel and hemisulfate	USPAT; US-PGPUB; DERWENT	2004/06/16 16:02
21	8221	ll6 or (sulfate near alkyl)	USPAT; US-PGPUB; DERWENT	2004/06/16 16:02
22	6700	(ll6 or (sulfate near alkyl)) and salt	USPAT; US-PGPUB; DERWENT	2004/06/16 16:03
23	2431	(ll6 or (sulfate near alkyl)) same salt	USPAT; US-PGPUB; DERWENT	2004/06/16 16:03

24	447	(Il6 or (sulfate near alkyl)) near salt	USPAT; US-PGPUB; DERWENT	2004/06/16 16:04
25	1347	clopidogrel or (thienopyridine or (thieno same pyridine))	USPAT; US-PGPUB; DERWENT	2004/06/16 16:04
26	1	(clopidogrel or (thienopyridine or (thieno same pyridine))) and ((Il6 or (sulfate near alkyl)) near salt )	USPAT; US-PGPUB; DERWENT	2004/06/16 16:04

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=> d his

(FILE 'HOME' ENTERED AT 16:09:07 ON 16 JUN 2004)

FILE 'REGISTRY' ENTERED AT 16:09:18 ON 16 JUN 2004

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 STRUCTURE UPLOADED

L4 5 S L3

L5 76 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:11:49 ON 16 JUN 2004

L6 503 S L5

FILE 'REGISTRY' ENTERED AT 16:12:36 ON 16 JUN 2004

L7 0 S L1 SUB=L5 SAMPLE

L8 0 S L1 SSS FULL SUB=L5

FILE 'CAPLUS' ENTERED AT 16:14:08 ON 16 JUN 2004

L9 83 S L6 AND SULF?

L10 46 S L9 AND SULFATE

L11 46 S L9(P) SULFATE

L12 43 S L11 AND PATENT/DT

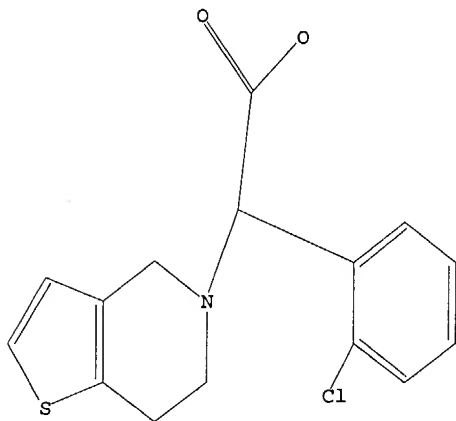
L13 22 S L12 AND HYDROCHLORIDE

L14 21 S L12 NOT L13

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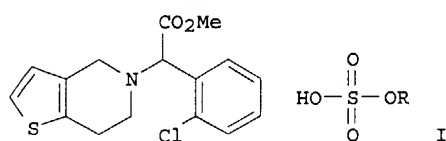
L3 HAS NO ANSWERS

L3 STR



10686666

LI4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:370683 CAPLUS  
DN 140:380607  
TI Preparation of clopidogrel salts with alkyl-sulphuric acids  
IN Castaldi, Graziano; Bologna, Alberto; Magrone, Domenico  
PA Dinamite Dipharma S.P.A. (In Abbreviated Form Dipharma S.P.A.), Italy  
SO Eur. Pat. Appl., 11 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
-----  
PI EP 1415993 A1 20040506 EP 2003-23023 20031013  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
PRAI IT 2002-MI2228 A 20021021  
GI



AB Clopidogrel salts with alkyl-sulfuric acids, having formula I wherein R is a straight or branched C1-C10 alkyl group; preparation thereof and the industrial and therapeutical use thereof are disclosed. A reactor was loaded at room temperature with clopidogrel hemisulfate (50 g, 0.12 mol) and isopropanol (500 mL) and refluxed under stirring. After about 5 h, the reaction mixture was cooled to room temperature and the product precipitated after approx. 3 h. The solid was filtered after about 15 h and dried under vacuum (200 mm Hg) at a temperature of 60°C for 24 h to obtain clopidogrel iso-Pr sulfate: yield = 88.8%, m.p. 167.1°C, and purity >99.9%.

IT 684269-99-6P 684270-00-6P 684270-01-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(clopidogrel salts with alkyl-sulfuric acids)

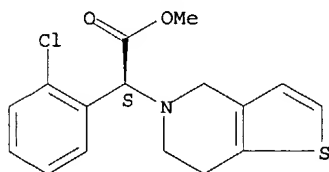
RN 684269-99-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

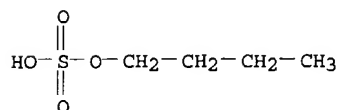
Absolute stereochemistry. Rotation (+).



CM 2

CRN 15507-13-8

CMF C4 H10 O4 S



10686666

RN 684270-00-6 CAPLUS

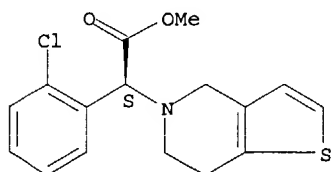
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, 2-methylpropyl sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

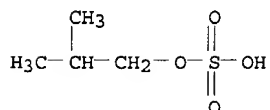
Absolute stereochemistry. Rotation (+).



CM 2

CRN 2412-30-8

CMF C4 H10 O4 S



RN 684270-01-7 CAPLUS

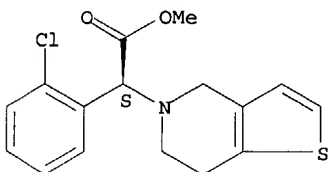
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, 1,1-dimethylethyl sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

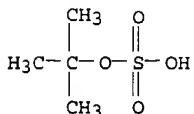
Absolute stereochemistry. Rotation (+).



CM 2

CRN 17011-26-6

CMF C4 H10 O4 S



IT 113665-84-2, Clopidogrel



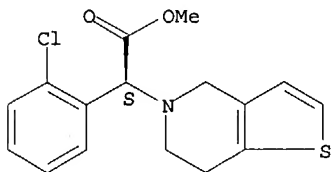
10686666

RL: RCT (Reactant); RACT (Reactant or reagent)  
(clopidogrel salts with alkyl-sulfuric acids)

RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 120202-66-6P, ClopiDogrel hemisulfate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(clopidogrel salts with alkyl-sulfuric acids)

RN 120202-66-6 CAPLUS

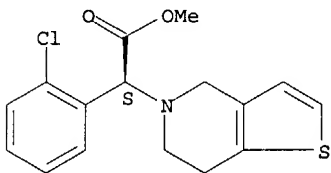
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

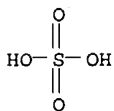
Absolute stereochemistry. Rotation (+).



CM 2

CRN 7664-93-9

CMF H2 O4 S



IT 684269-94-1P 684269-95-2P 684269-96-3P

684269-97-4P 684269-98-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(clopidogrel salts with alkyl-sulfuric acids)

RN 684269-94-1 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, 1-methylethyl sulfate (9CI) (CA INDEX NAME)

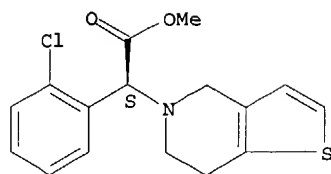
CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

Absolute stereochemistry. Rotation (+).

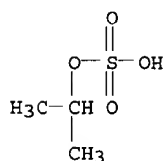
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CM 2

CRN 6914-90-5

CMF C3 H8 O4 S



RN 684269-95-2 CAPLUS

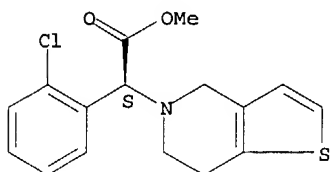
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, 1-methylpropyl sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

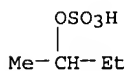
Absolute stereochemistry. Rotation (+).



CM 2

CRN 3004-76-0

CMF C4 H10 O4 S



RN 684269-96-3 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, methyl sulfate (9CI) (CA INDEX NAME)

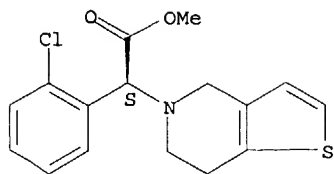
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CRN 113665-84-2

CMF C16 H16 Cl N O2 S

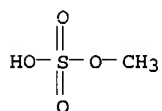
Absolute stereochemistry. Rotation (+).

10686666



CM 2

CRN 75-93-4  
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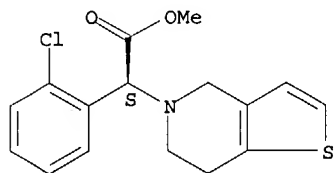


RN 684269-97-4 CAPLUS  
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CM 1

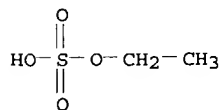
CRN 113665-84-2  
CMF C16 H16 Cl N O2 S

Absolute stereochemistry. Rotation (+).



CM 2

CRN 540-82-9  
CMF C2 H6 O4 S



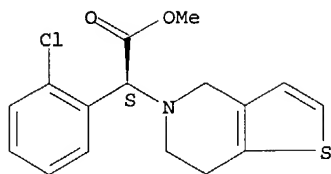
RN 684269-98-5 CAPLUS  
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, propyl sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2  
CMF C16 H16 Cl N O2 S

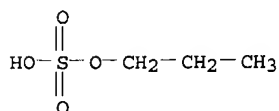
Absolute stereochemistry. Rotation (+).

10686666



CM 2

CRN 13425-84-8  
CMF C3 H8 O4 S



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

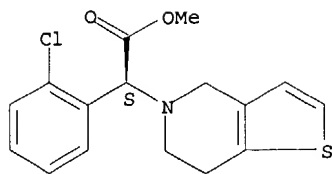
L14 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:333612 CAPLUS  
DN 140:362998  
TI Gamma irradiation of solid nanoparticulate active agents  
IN Lee, Robert; Hilborn, Matthew; Kline, Laura; Keller, Janine  
PA Elan Pharma International Limited, Ire.  
SO PCT Int. Appl., 79 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004032980	A1	20040422	WO 2003-US27484	20030904
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004105778	A1	20040603	US 2003-654600	20030904

PRAI US 2002-415749P P 20021004  
AB The present invention relates to methods for terminal sterilization of solid forms of nanoparticulate active agent compns. via gamma irradiation The nanoparticulate active agent has an effective average particle size of less than about 2  $\mu$ , prior to incorporation into a solid form for sterilization. The resultant sterilized compns. exhibit excellent redispersibility, homogeneity, and uniformity. Also encompassed are compns. made via the described method and methods of treating animals and humans using such compns. Several examples are provided of  $\gamma$ -ray sterilization of naproxen nanoparticulate formulations. Pre-lyophilization, post-lyophilization and post- $\gamma$ -irradiation properties (particle size, stability, osmolality, pH, microbiol. testing) are described. Surface stabilizers are used.  
IT 113665-84-2, Clopidogrel  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) ( $\gamma$ -ray sterilization of pharmaceutical nanoparticles)  
RN 113665-84-2 CAPLUS  
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10686666



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:251975 CAPLUS  
DN 140:276135  
TI Method to treat collagenous connective tissue for implant remodeled by  
host cells into living tissue  
IN Cheung, David T.  
PA USA  
SO U.S. Pat. Appl. Publ., 14 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004057936	A1	20040325	US 2002-253017	20020923
PRAI	US 2002-253017		20020923		

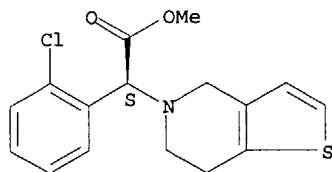
AB The invention relates to a method of treatment of collagenous connective tissue removed from a donor for implant into a recipient which is re-habited or re-colonized by host cells without an immune rejection and inflammatory reaction. After removal from the donor, the tissue is trimmed and thereafter soaked in a cold stabilizing solution having a temperature range of 4 to 10 °C. The tissue is then soaked at a predetd. temperature in a polyglycol, salt, hydrogen peroxide, and phosphate buffer first solution of predetd. quantities and concns. and of sufficient ionic strength to permit ground substances to dissociate such that the collagen fibers remain stable. The tissue is then soaked in an alc. and water solution at a predetd. temperature for a sufficient period of time to remove the residue of the first solution. Following the removal of the residue, the tissue is soaked at a predetd. temperature in a third solution of an anti-inflammatory agent, an anti-thrombic agent, alc., and water or sequentially in an anti-inflammatory agent, alc., and water solution, and then in an anti-thrombic agent, alc. and water solution and thereafter stored.

IT 113665-84-2, Clopidogrel

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)  
(method to treat collagenous connective tissue for implants remodeled by host cells into living tissue)

RN 113665-84-2 CAPLUS  
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L14 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:203837 CAPLUS  
DN 140:241063  
TI Method for the manufacture of crystalline form I of clopidogrel hydrogen  
sulfate  
IN Veverka, Miroslav; Vodny, Stefan; Veverkova, Eva; Hajicek, Josef;  
Stepankova, Hana  
PA Leciva, A.S., Czech Rep.  
SO PCT Int. Appl., 18 pp.

10686666

CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004020443	A1	20040311	WO 2003-CZ49	20030826
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI CZ 2002-2906 A 20020827

AB A method for manufacturing the hydrogen sulfate (alpha S) of the alpha-(2-chlorophenyl)-6,7-dihydrothieno[3,2-c]pyridine-5(4H)-acetic acid Me ester (i.e., clopidogrel hydrogen sulfate), in crystalline Form I, where the compound is separated out of a solution of clopidogrel in the form of the free base or salt in a solvent selected from the series of primary, secondary or tertiary C1-5 alcs. (e.g., 2-propanol), their esters with C1-4 carboxylic acids, or optionally of mixts. thereof.

IT 120202-66-6P, Clopidogrel hydrogen sulfate

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(method for the manufacture of crystalline form I of clopidogrel hydrogen sulfate)

RN 120202-66-6 CAPLUS

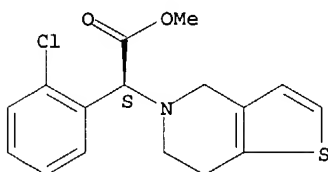
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid, alpha-(2-chlorophenyl)-6,7-dihydro-, methyl ester, (alphaS)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

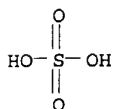
Absolute stereochemistry. Rotation (+).



CM 2

CRN 7664-93-9

CMF H2 O4 S



IT 113665-84-2, Clopidogrel

RL: RCT (Reactant); RACT (Reactant or reagent)

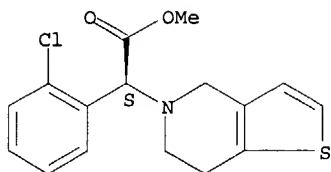
(method for the manufacture of crystalline form I of clopidogrel hydrogen sulfate using)

RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid, alpha-(2-chlorophenyl)-6,7-dihydro-, methyl ester, (alphaS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10686666



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:60341 CAPLUS  
DN 140:117406  
TI Liquid dosage compositions of stable nanoparticulate drugs  
IN Bosch, William H.; Hilborn, Matthew R.; Hovey, Douglas C.; Kline, Laura J.; Lee, Robert W.; Pruitt, John D.; Ryde, Niels P.; Ryde, Tuula A.; Xu, Shuqian  
PA Elan Pharma International, Ltd, Ire.  
SO PCT Int. Appl., 68 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006959	A1	20040122	WO 2003-US22187	20030716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2002-396530P P 20020716

AB The present invention relates to liquid dosage compns. of stable nanoparticulate drugs. The liquid dosage compns. of the invention include osmotically active crystal growth inhibitors that stabilize the nanoparticulate active agents against crystal and particle size growth of the drug. Thus, an aqueous nanoparticulate colloidal dispersion (NCD) comprising drug 32.5 Copovidone 6.5, and dioctyl sodium sulfosuccinate 0.464% by weight was prepared by milling for 3.8 h under high energy milling conditions. The final mean particle size (by weight) of the drug particles was 161 nm. The concentrated NCD was then diluted with preserved water and glycerol (the osmotically active crystal growth inhibitor) to 0.5-3.0% drug.

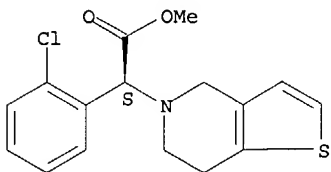
IT 113665-84-2, Clopidogrel

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(liquid dosage compns. of stable nanoparticulate drugs)

RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

10686666

AN 2003:950061 CAPLUS  
 DN 140:8764  
 TI Polymorphs of clopidogrel hydrogen sulfate  
 IN Lifshitz-Liron, Revital; Kovalevski-Ishai, Eti; Wizel, Shlomit;  
 Avhar-Maydan, Sharon; Lidor-Hadas, Rami  
 PA Israel  
 SO U.S. Pat. Appl. Publ., 31 pp., Cont.-in-part of U.S. Ser. No. 74,409.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003225129	A1	20031204	US 2003-339008	20030108
	US 2003114479	A1	20030619	US 2002-74409	20020212
	WO 2003051362	A2	20030626	WO 2002-US40679	20021218
	WO 2003051362	A3	20030807		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2002-348182P P 20020111  
 US 2002-74409 A2 20020212  
 US 2002-359157P P 20020221  
 WO 2002-US40679 A 20021218  
 US 2001-342440P P 20011218  
 US 2001-342351P P 20011221

AB Provided are new crystalline Forms III, IV, V and VI of clopidogrel hydrogen sulfate and the amorphous form of clopidogrel hydrogen sulfate, as well as their pharmaceutical compns., and method of treatments with such compns. Also provided are novel processes for the preparation of clopidogrel hydrogen sulfate Form I, Form II, Form III, Form IV, Form V, Form VI and amorphous form. Clopidogrel base (4.27 g) was dissolved in Me Et ketone (MEK) (33.7 mL). Eighty percent aqueous H2SO4 (1.03 mL) was added to the solution at 20°. The reaction mixture was heated to reflux temperature for 2 h and then the solution was cooled to room temperature and stirred at this temperature for addnl. 67 h during which a precipitate was formed. The white solid was collected by filtration, washed with MEK and dried at 50° in a vacuum oven for 24 h to obtain 4.59 g (82%) of clopidogrel hydrogen sulfate crystal Form II.

IT 120202-66-6P, Clopidogrel hydrogen sulfate  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (polymorphs of clopidogrel hydrogen sulfate)

RN 120202-66-6 CAPLUS

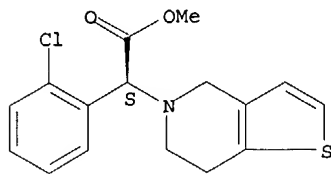
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

Absolute stereochemistry. Rotation (+).



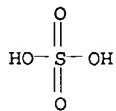
CM 2

CRN 7664-93-9

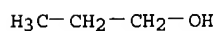
CMF H2 O4 S



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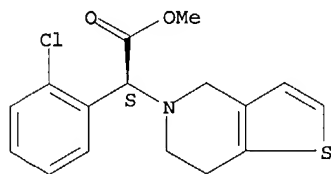


IT 548771-51-3  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(polymorphs of clopidogrel hydrogen sulfate)  
RN 548771-51-3 CAPLUS  
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-  
dihydro-, methyl ester, ( $\alpha$ S)-, sulfate, compd. with 1-propanol  
(1:1:?) (9CI) (CA INDEX NAME)  
  
CM 1  
  
CRN 71-23-8  
CMF C3 H8 O

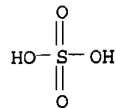


CM 2  
  
CRN 120202-66-6  
CMF C16 H16 Cl N O2 S . H2 O4 S  
  
CM 3  
  
CRN 113665-84-2  
CMF C16 H16 Cl N O2 S

Absolute stereochemistry. Rotation (+).



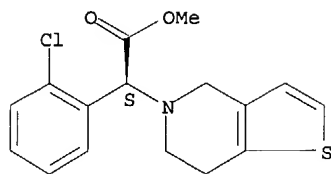
CM 4  
  
CRN 7664-93-9  
CMF H2 O4 S



IT 113665-84-2, Clopidogrel  
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT  
(Reactant or reagent); USES (Uses)  
(polymorphs of clopidogrel hydrogen sulfate)  
RN 113665-84-2 CAPLUS  
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-  
dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10686666



L14 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:491043 CAPLUS

DN 139:74015

TI Polymorphs of clopidogrel hydrogen sulfate

IN Lifshitz-Liron, Revital; Kovalevski-Ishai, Eti; Wizel, Shlomit; Avhar-Maydan, Sharon; Lidor-Hadas, Rami

PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003051362	A2	20030626	WO 2002-US40679	20021218
	WO 2003051362	A3	20030807		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2003114479	A1	20030619	US 2002-74409	20020212
	US 2003225129	A1	20031204	US 2003-339008	20030108
PRAI	US 2001-342440P	P	20011218		
	US 2001-342351P	P	20011221		
	US 2002-348182P	P	20020111		
	US 2002-74409	A	20020212		
	US 2002-359157P	P	20020221		
	WO 2002-US40679	A	20021218		

AB Provided are new crystalline Forms III, IV, V and VI of clopidogrel hydrogen sulfate and the amorphous form of clopidogrel hydrogen sulfate, as well as their pharmaceutical compns. for inhibiting platelet aggregation. Also provided are novel processes for preparation of clopidogrel hydrogen sulfate Form I, Form II, Form III, Form IV, Form V, Form VI and amorphous form. For example, 5.31 g of clopidogrel base was dissolved in 41.9 mL of Et acetate, and 1.29 mL of 80% aqueous H<sub>2</sub>SO<sub>4</sub> was added. The reaction mixture was heated and a massive precipitate was formed; the solution was cooled to room temperature, and white solid was collected by filtration, washed with Et acetate and dried to obtain 4.60 g (66%) clopidogrel hydrogen sulfate Form II.

IT 548771-48-8 548771-49-9 548771-50-2

548771-51-3

RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(preparation of amorphous and polymorphic forms of clopidogrel hydrogen sulfate for inhibition of platelet aggregation)

RN 548771-48-8 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate, compd. with 1-butanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 71-36-3

CMF C4 H10 O

H<sub>3</sub>C-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-OH

10686666

CM 2

CRN 120202-66-6

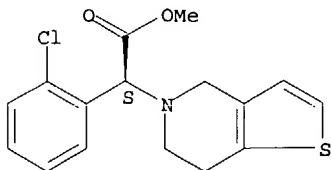
CMF C16 H16 Cl N O2 S . H2 O4 S

CM 3

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

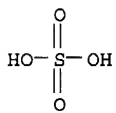
Absolute stereochemistry. Rotation (+).



CM 4

CRN 7664-93-9

CMF H2 O4 S



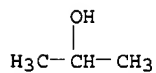
RN 548771-49-9 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate, compd. with 2-propanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 67-63-0

CMF C3 H8 O



CM 2

CRN 120202-66-6

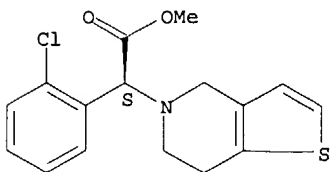
CMF C16 H16 Cl N O2 S . H2 O4 S

CM 3

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

Absolute stereochemistry. Rotation (+).

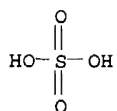


10686666

CM 4

CRN 7664-93-9

CMF H2 O4 S



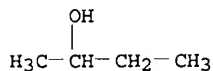
RN 548771-50-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate, compd. with 2-butanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 78-92-2

CMF C4 H10 O



CM 2

CRN 120202-66-6

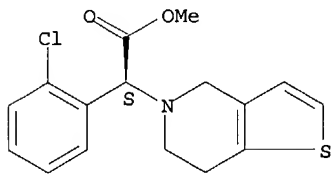
CMF C16 H16 Cl N O2 S . H2 O4 S

CM 3

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

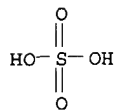
Absolute stereochemistry. Rotation (+).



CM 4

CRN 7664-93-9

CMF H2 O4 S



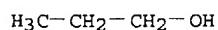
RN 548771-51-3 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate, compd. with 1-propanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

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CRN 71-23-8  
CMF C3 H8 O



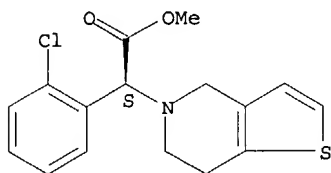
CM 2

CRN 120202-66-6  
CMF C16 H16 Cl N O2 S . H2 O4 S

CM 3

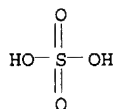
CRN 113665-84-2  
CMF C16 H16 Cl N O2 S

Absolute stereochemistry. Rotation (+).



CM 4

CRN 7664-93-9  
CMF H2 O4 S



IT 120202-66-6P, Clopidogrel hydrogen sulfate  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of amorphous and polymorphic forms of clopidogrel hydrogen  
sulfate for inhibition of platelet aggregation)

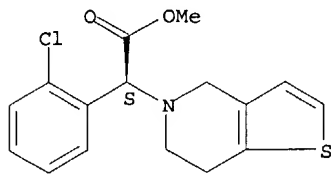
RN 120202-66-6 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-  
dihydro-, methyl ester, ( $\alpha$ S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2  
CMF C16 H16 Cl N O2 S

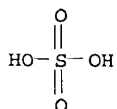
Absolute stereochemistry. Rotation (+).



CM 2

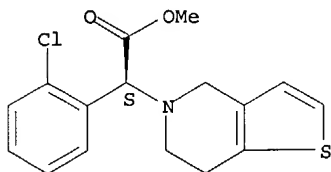
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CRN 7664-93-9  
CMF H2 O4 S



IT 113665-84-2, Clopidogrel  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of amorphous and polymorphic forms of clopidogrel hydrogen sulfate for inhibition of platelet aggregation)  
RN 113665-84-2 CAPLUS  
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L14 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:473265 CAPLUS  
DN 139:41853  
TI preparation of crystal and amorphous forms of clopidogrel hydrogen sulfate for pharmaceuticals  
IN Lifshitz-Liron, Revital; Kovalevski-Ishai, Eti; Wizel, Shlomit; Maydan, Sharon Avhar; Lidor-Hadas, Rami  
PA Israel  
SO U.S. Pat. Appl. Publ., 27 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003114479	A1	20030619	US 2002-74409	20020212
	WO 2003051362	A2	20030626	WO 2002-US40679	20021218
	WO 2003051362	A3	20030807		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2003225129	A1	20031204	US 2003-339008	20030108
PRAI	US 2001-342440P	P	20011218		
	US 2001-342351P	P	20011221		
	US 2002-348182P	P	20020111		
	US 2002-74409	A	20020212		
	US 2002-359157P	P	20020221		
	WO 2002-US40679	A	20021218		

AB The present invention provides new crystalline forms III, IV and V of clopidogrel hydrogen sulfate and the amorphous form of clopidogrel hydrogen sulfate, as well as their pharmaceutical compns., and method of treatments with such compns. The present invention further provides a novel process where the amorphous form is converted to Form I by contacting Form I with an ether. Clopidogrel hydrogen sulfate (2 g) was dissolved in MeOH (4 mL). The resulting solution was added dropwise to di-Et ether (350 mL). The suspension was stirred at

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room temperature for 45 min. The solid was filtered and dried at about 50° in a vacuum oven for 24 h to give 1.12 g (56%) of clopidogrel hydrogen sulfate, which characterization data showed to be the amorphous form.

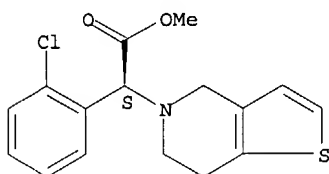
IT 120202-66-6P, Clopidogrel hydrogen sulfate  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of crystal and amorphous forms of clopidogrel hydrogen sulfate for pharmaceuticals)  
RN 120202-66-6 CAPLUS  
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

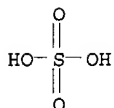
Absolute stereochemistry. Rotation (+).



CM 2

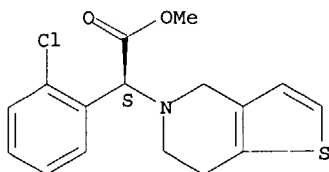
CRN 7664-93-9

CMF H2 O4 S



IT 113665-84-2, Clopidogrel  
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
(preparation of crystal and amorphous forms of clopidogrel hydrogen sulfate for pharmaceuticals)  
RN 113665-84-2 CAPLUS  
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



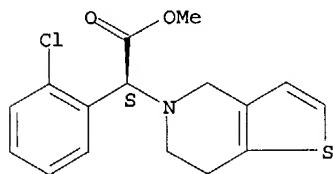
L14 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:334829 CAPLUS  
DN 138:343889  
TI Novel pharmaceutical compounds containing drugs bound to polypeptides  
IN Picariello, Thomas  
PA New River Pharmaceuticals Inc., USA  
SO PCT Int. Appl., 4662 pp.  
CODEN: PIXXD2  
DT Patent

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LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003034980	A2	20030501	WO 2001-US43089	20011114
	WO 2003034980	C1	20031120		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	EP 1401374	A1	20040331	EP 2001-274606	20011114
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRAI	US 2000-274622P	P	20001114		
	WO 2001-US43089	W	20011114		
AB	Compns. comprising polypeptides and drugs covalently attached to the polypeptide are disclosed. Also provided is a method for delivery of these drugs to a patient comprising administering to the patient a composition comprising a polypeptide and a drug covalently attached to the polypeptide. Also provided is a method for protecting drugs from degradation comprising covalently attaching them to a polypeptide. Also provided is a method for controlling release of drugs from a composition comprising covalently attaching them to the polypeptide.				
IT	113665-84-2DP, Clopidogrel, protein conjugates RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (novel pharmaceutical compds. containing drugs bound to polypeptides)				
RN	113665-84-2 CAPLUS				
CN	Thieno[3,2-c]pyridine-5(4H)-acetic acid, $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry. Rotation (+).



L14 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:319495 CAPLUS  
DN 138:343864  
TI In vivo delivery methods and compositions  
IN Kensey, Kenneth  
PA USA  
SO U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S. Ser. No. 819,924.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003078517	A1	20030424	US 2001-839785	20010420
	US 6019735	A	20000201	US 1997-919906	19970828
	CA 2301161	AA	19990304	CA 1998-2301161	19980826
	NZ 502905	A	20010831	NZ 1998-502905	19980826
	JP 2001514384	T2	20010911	JP 2000-507994	19980826
	US 6322524	B1	20011127	US 1999-439795	19991112
	US 6322525	B1	20011127	US 2000-501856	20000210
	NO 2000000944	A	20000225	NO 2000-944	20000225
	US 6428488	B1	20020806	US 2000-615340	20000712
	US 2001039828	A1	20011115	US 2001-789350	20010221
	US 2002007664	A1	20020124	US 2001-897164	20010702
	US 6484565	B2	20021126		
	WO 2002043806	A2	20020606	WO 2001-US44352	20011127
	WO 2002043806	A3	20030327		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,			



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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002026986 A5 20020611 AU 2002-26986 20011127  
 US 2002088953 A1 20020711 US 2001-33841 20011227  
 US 6624435 B2 20030923  
 WO 2002079778 A2 20021010 WO 2002-US3984 20020207  
 WO 2002079778 A3 20030710

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

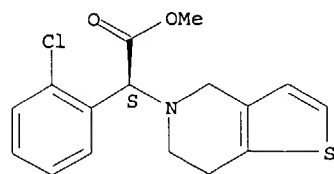
US 2002184941 A1 20021212 US 2002-156165 20020528  
 US 6571608 B2 20030603  
 PRAI US 1997-919906 A2 19970828  
 US 1999-439795 A2 19991112  
 US 2000-501856 A2 20000210  
 US 2000-628401 A2 20000801  
 US 2000-727950 B2 20001201  
 US 2001-819924 A2 20010328  
 US 1997-966076 A 19971107  
 WO 1998-US17657 W 19980826  
 KR 2000-16044 A 20000329  
 US 2000-615340 A3 20000712  
 US 2000-228612P P 20000828  
 US 2001-789350 A2 20010221  
 US 2001-828761 A 20010409  
 US 2001-839785 A 20010420  
 US 2001-841389 A 20010424  
 US 2001-897164 A3 20010702  
 WO 2001-US44352 W 20011127

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least 1 drug. Agents effective to regulate at least 1 of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

IT 113665-84-2, Clopidogrel  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (in vivo delivery methods and compns.)

RN 113665-84-2 CAPLUS  
 CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



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TI Combination of an ADP-receptor blocking antiplatelet drug and a  
thromboxane A2 receptor antagonist for inhibiting thrombus formation  
IN Ogletree, Martin L.  
PA Bristol-Myers Squibb Company, USA  
SO U.S., 20 pp.  
CODEN: USXXAM

DT Patent  
LA English

FAN, CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6509348	B1	20030121	US 1999-428611	19991027
	US 2003109543	A1	20030612	US 2002-295347	20021115
PRAI	US 1998-106813P	P	19981103		
	US 1999-428611	A3	19991027		

AB A method is provided for inhibiting platelet aggregation and thrombus formation by administering to a patient a synergistic combination of an ADP-receptor blocking antiplatelet drug, such as clopidogrel, with a thromboxane A2 receptor antagonist, such as ifetroban, and optionally a cholesterol lowering drug, such as an HMG CoA reductase inhibitor, for example, pravastatin. Capsules containing 98 mg clopidogrel hydrogen sulfate and 35 mg ifetroban were prepared

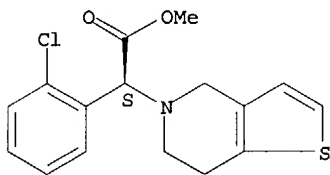
IT 113665-84-2, Clopidogrel 120202-66-6, Clopidogrel hydrogen sulfate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(combination of ADP-receptor blocking antiplatelet drug and thromboxane A2 receptor antagonist for inhibiting thrombus formation)

RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 120202-66-6 CAPLUS

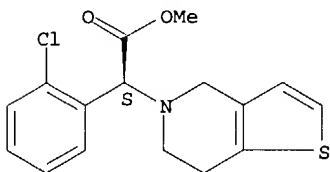
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

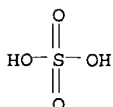
Absolute stereochemistry. Rotation (+).



CM 2

CRN 7664-93-9

CMF H2 O4 S



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RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:392219 CAPLUS  
DN 136:406945  
TI Methods for in vivo drug delivery based on monitoring blood flow  
parameters  
IN Kensey, Kenneth R.  
PA USA  
SO U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 727,950.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002061835	A1	20020523	US 2001-828761	20010409
	US 6019735	A	20000201	US 1997-919906	19970828
	CA 2301161	AA	19990304	CA 1998-2301161	19980826
	NZ 502905	A	20010831	NZ 1998-502905	19980826
	JP 2001514384	T2	20010911	JP 2000-507994	19980826
	US 6322524	B1	20011127	US 1999-439795	19991112
	US 6322525	B1	20011127	US 2000-501856	20000210
	NO 2000000944	A	20000225	NO 2000-944	20000225
	US 6428488	B1	20020806	US 2000-615340	20000712
	US 2001039828	A1	20011115	US 2001-789350	20010221
	US 2002007664	A1	20020124	US 2001-897164	20010702
	US 6484565	B2	20021126		
	WO 2002043806	A2	20020606	WO 2001-US44352	20011127
	WO 2002043806	A3	20030327		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002026986	A5	20020611	AU 2002-26986	20011127
	US 2002088953	A1	20020711	US 2001-33841	20011227
	US 6624435	B2	20030923		
	WO 2002079778	A2	20021010	WO 2002-US3984	20020207
	WO 2002079778	A3	20030710		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002184941	A1	20021212	US 2002-156165	20020528
	US 6571608	B2	20030603		
PRAI	US 1997-919906	A2	19970828		
	US 1999-439795	A2	19991112		
	US 2000-501856	A2	20000210		
	US 2000-628401	A2	20000801		
	US 2000-727950	A2	20001201		
	US 1997-966076	A	19971107		
	WO 1998-US17657	W	19980826		
	KR 2000-16044	A	20000329		
	US 2000-615340	A3	20000712		
	US 2000-228612P	P	20000828		
	US 2001-789350	A2	20010221		
	US 2001-819924	A	20010328		
	US 2001-828761	A	20010409		
	US 2001-839785	A	20010420		
	US 2001-841389	A	20010424		
	US 2001-897164	A3	20010702		
	WO 2001-US44352	W	20011127		
AB	Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity,				

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work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

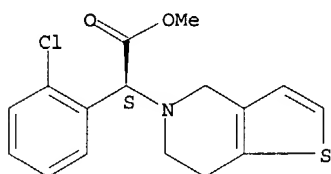
IT 113665-84-2, Clopidogrel

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(methods for in vivo drug delivery based on monitoring blood flow parameters)

RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L14 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:185694 CAPLUS

DN 136:252483

TI Clear oil-containing pharmaceutical compositions containing a therapeutic agent

IN Chen, Feng-Jing; Patel, Mahesh V.; Fikstad, David T.

PA USA

SO U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S. Ser. No. 751,968.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002032171	A1	20020314	US 2001-877541	20010608
	US 6267985	B1	20010731	US 1999-345615	19990630
	US 6309663	B1	20011030	US 1999-375636	19990817
	US 2001024658	A1	20010927	US 2000-751968	20001229
	US 6458383	B2	20021001		
	US 2003077297	A1	20030424	US 2002-74687	20020211
	US 2003104048	A1	20030605	US 2002-158206	20020529
	US 2003235595	A1	20031225	US 2003-397969	20030325
PRAI	US 2003236236	A1	20031225	US 2003-444935	20030522
	US 1999-345615	A2	19990630		
	US 1999-375636	A2	19990817		
	US 2000-751968	A2	20001229		
	US 1999-258654	A1	19990226		
	US 1999-447690	A3	19991123		
	WO 2000-US18807	A	20000710		
	US 2000-716029	A2	20001117		
	US 2001-800593	A2	20010306		
	US 2001-877541	A2	20010608		
AB	US 2001-898553	A2	20010702		

The present invention relates to pharmaceutical compns. and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compns. of the present invention include a carrier, where the carrier is formed from a combination of a triglyceride and at least 2 surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous medium, the carrier forms a clear, aqueous dispersion of the triglyceride and surfactants. Thus, a formulation contained soybean oil, 80, Tween-20 200, and Tween-80 800 mg.

IT 113665-84-2, Clopidogrel

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(clear oil-containing pharmaceutical compns. containing therapeutic agent)

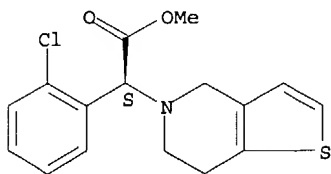
RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-

10686666

dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L14 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:185688 CAPLUS

DN 136:252567

TI Methods for drug administration and distribution based on monitoring blood viscosity and other parameters for diagnostics and treatment

IN Kensey, Kenneth

PA USA

SO U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 819,924.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002032149	A1	20020314	US 2001-841389	20010424
	US 6019735	A	20000201	US 1997-919906	19970828
	CA 2301161	AA	19990304	CA 1998-2301161	19980826
	NZ 502905	A	20010831	NZ 1998-502905	19980826
	JP 2001514384	T2	20010911	JP 2000-507994	19980826
	US 6322524	B1	20011127	US 1999-439795	19991112
	US 6322525	B1	20011127	US 2000-501856	20000210
	NO 2000000944	A	20000225	NO 2000-944	20000225
	US 6428488	B1	20020806	US 2000-615340	20000712
	US 2001039828	A1	20011115	US 2001-789350	20010221
	US 2002007664	A1	20020124	US 2001-897164	20010702
	US 6484565	B2	20021126		
	US 2002088953	A1	20020711	US 2001-33841	20011227
	US 6624435	B2	20030923		
	WO 2002079778	A2	20021010	WO 2002-US3984	20020207
	WO 2002079778	A3	20030710		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

US 2002184941 A1 20021212 US 2002-156165 20020528

US 6571608 B2 20030603

PRAI US 1997-919906 A2 19970828

US 1999-439795 A2 19991112

US 2000-501856 A2 20000210

US 2000-628401 A2 20000801

US 2000-727950 A2 20001201

US 2001-819924 A2 20010328

US 1997-966076 A 19971107

WO 1998-US17657 W 19980826

KR 2000-16044 A 20000329

US 2000-615340 A3 20000712

US 2000-228612P P 20000828

US 2001-789350 A2 20010221

US 2001-828761 A 20010409

US 2001-839785 A 20010420

US 2001-841389 A 20010424

US 2001-897164 A3 20010702

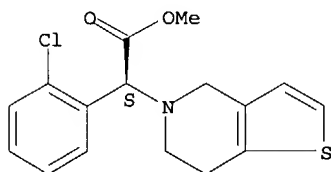
AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being, i.e., a human, over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for

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detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the afore mentioned blood parameters are used to adjust distribution of a substance through the bloodstream. For example, when blood viscosity is a blood flow parameter monitored, an agent is selected from i.v. diluents, red blood cell deformability agents, antiurea agents, oral contraceptives, antidiabetic agents, antiarrhythmics, antihypertensives, antihyperlipidemics, antiplatelet agents, appetite suppressants, antiobesity agents, blood modifiers, smoking deterrent agents, and nutritional supplements.

IT 113665-84-2, Clopidogrel  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (apparatus and methods for monitoring blood viscosity and other parameters in drug delivery for diagnostics and treatment)  
 RN 113665-84-2 CAPLUS  
 CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L14 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:137028 CAPLUS  
 DN 134:183503  
 TI Pharmaceutical composition with antithrombotic activity consisting of clopidogrel hydrogen sulfate and a GPIIb/IIIa receptor antagonist  
 IN Bernat, Andre; Herbert, Jean Marc; Maffrand, Jean Pierre; Savi, Pierre  
 PA Sanofi-Synthelabo, Fr.  
 SO PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA French  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001012194	A1	20010222	WO 2000-FR2270	20000808
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	FR 2797400	A1	20010216	FR 1999-10392	19990811
PRAI	FR 1999-10392	A	19990811		

AB The invention concerns a combination with antithrombotic activity of two antiaggregating active principles, consisting of clopidogrel hydrogen sulfate (I) and an antagonist of the fibrinogen GPIIb/IIIa receptors (anti-GPIIb/IIIa). The antithrombotic activity of both I and Et 3-[(4-{4-[amino(ethoxycarbonylimino)methyl]phenyl}-1,3-thiazol-2-yl)-(1-ethoxycarbonylmethyl)pyridin-4-yl)amino]propionate (II) was studied in rabbits. A capsule contained I 97.875, II 20.000, pregelatinized starch 40.000, mannitol 233.125, colloidal silica 2.000, and hydrogenated castor oil 7.000 mg.

IT 120202-66-6, Clopidogrel hydrogen sulfate  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical composition with antithrombotic activity consisting of

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clopidogrel hydrogen sulfate and GPIIb/IIIa receptor  
antagonist)

RN 120202-66-6 CAPLUS

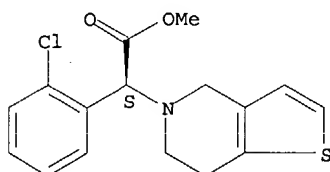
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-  
dihydro-, methyl ester, ( $\alpha$ S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

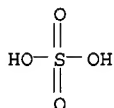
Absolute stereochemistry. Rotation (+).



CM 2

CRN 7664-93-9

CMF H2 O4 S



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:725436 CAPLUS

DN 133:301171

TI Compositions and methods for improved delivery of ionizable hydrophobic  
therapeutic agents

IN Chen, Feng-jing; Patel, Manesh V.

PA Lipocine, Inc., USA

SO PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000059475	A1	20001012	WO 2000-US7342	20000316
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6383471	B1	20020507	US 1999-287043	19990406
	EP 1165048	A1	20020102	EP 2000-916547	20000316
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1999-287043	A	19990406		
	WO 2000-US7342	W	20000316		

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compns. by providing a composition of an ionizable

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hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric acid 0.025, Tween-20 0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated gastric fluid.

IT 113665-84-2, Clopidogrel

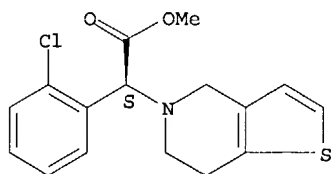
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:608551 CAPLUS

DN 133:213151

TI Pharmaceutical compositions and methods for improved delivery of hydrophobic therapeutic agents

IN Patel, Manesh V.; Chen, Feng-Jing

PA Lipocine, Inc., USA

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 12

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050007	A1	20000831	WO 2000-US165	20000105
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6294192	B1	20010925	US 1999-258654	19990226
AU 2000022242	A5	20000914	AU 2000-22242	20000105
AU 771659	B2	20040401		
NZ 513810	A	20010928	NZ 2000-513810	20000105
EP 1158959	A1	20011205	EP 2000-901394	20000105
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002537317	T2	20021105	JP 2000-600619	20000105
PRAI US 1999-258654	A	19990226		
WO 2000-US165	W	20000105		

AB The present invention relates to triglyceride-free pharmaceutical compns. for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous dispersion of the surfactants containing the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A pharmaceutical composition contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.

IT 113665-84-2, Clopidogrel

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)



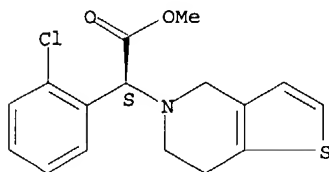
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(pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:144709 CAPLUS

DN 132:185449

TI Pharmaceutical composition for injection based on a pharmaceutically acceptable clopidogrel or ticlopidin salt

IN Aleman, Claude; Breul, Thierry

PA Sanofi-Synthelabo, Fr.

SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000010534	A1	20000302	WO 1999-FR2003	19990818
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
FR 2782455	A1	20000225	FR 1998-10567	19980820
FR 2782455	B3	20000915		
AU 9951736	A1	20000314	AU 1999-51736	19990818
EP 1105102	A1	20010613	EP 1999-936748	19990818
EP 1105102	B1	20030102		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
AT 230258	E	20030115	AT 1999-936748	19990818
PT 1105102	T	20030430	PT 1999-936748	19990818
ES 2189456	T3	20030701	ES 1999-936748	19990818
PRAI FR 1998-10567	A	19980820		
WO 1999-FR2003	W	19990818		

AB An aqueous pharmaceutical composition contains a lyophilizate consisting of clopidogrel or ticlopidin optionally in the form of a pharmaceutically acceptable salt, of Pluronic F68 reconstituted in a recovery solvent comprising a basic pH modifying agent compatible with parenteral administration and Solutol HS15. A pharmaceutical lyophilizate contained clopidogrel hydrogen sulfate 100, Pluronic F68 25 mg, and water q.s. 5mL.

IT 120202-66-6, Clopidogrel hydrogen sulfate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical composition for injection based on pharmaceutically acceptable clopidogrel or ticlopidin salt)

RN 120202-66-6 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

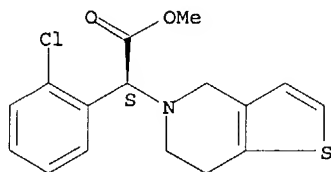
CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

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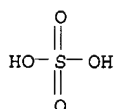
Absolute stereochemistry. Rotation (+).



CM 2

CRN 7664-93-9

CMF H2 O4 S



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1999:811251 CAPLUS  
DN 132:54841  
TI Polymorphic clopidogrel hydrogen sulphate form  
IN Bousquet, Andre; Castro, Bertrand; Saint-Germain, Jean  
PA Sanofi-Synthelabo, Fr.  
SO PCT Int. Appl., 32 pp.  
CODEN: PIXXD2

DT Patent

LA French

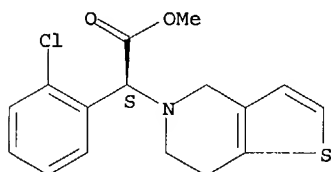
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965915	A1	19991223	WO 1999-FR1371	19990610
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	FR 2779726	A1	19991217	FR 1998-7464	19980615
	FR 2779726	B1	20010518		
	CA 2334870	AA	19991223	CA 1999-2334870	19990610
	AU 9940483	A1	20000105	AU 1999-40483	19990610
	AU 752170	B2	20020905		
	BR 9911219	A	20010306	BR 1999-11219	19990610
	TR 200003417	T2	20010321	TR 2000-200003417	19990610
	EP 1087976	A1	20010404	EP 1999-923711	19990610
	EP 1087976	B1	20020814		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	EE 200000745	A	20020415	EE 2000-745	19990610
	EE 3972	B1	20030217		
	JP 2002518399	T2	20020625	JP 2000-554740	19990610
	AT 222256	E	20020815	AT 1999-923711	19990610
	NZ 507914	A	20021126	NZ 1999-507914	19990610
	PT 1087976	T	20021129	PT 1999-923711	19990610
	ES 2181439	T3	20030216	ES 1999-923711	19990610
	CN 1128805	B	20031126	CN 1999-807458	19990610
	ZA 2000006386	A	20010507	ZA 2000-6386	20001107
	BG 104987	A	20011130	BG 2000-104987	20001127
	NO 2000006395	A	20010215	NO 2000-6395	20001214
	HR 2000000863	A1	20011031	HR 2000-863	20001214
	HR 20000863	B1	20030430		

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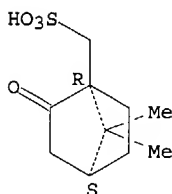
US 6429210 B1 20020806 US 2001-623333 20010405  
 HK 1033829 A1 20030328 HK 2001-104337 20010621  
 US 2002198229 A1 20021226 US 2002-177092 20020621  
 US 6504030 B2 20030107  
 PRAI FR 1998-7464 A 19980615  
 WO 1999-FR1371 W 19990610  
 US 2001-623333 A1 20010405  
 AB Novel polymorphic orthorhombic clopidogrel hydrogen **sulfate** or (+)-(S)- $\alpha$ -(2-chlorophenyl)-4,5,6,7-tetrahydrothieno [3,2-c]pyridinyl-5-Me acetate hydrogen **sulfate** form 2 is prepared A solution of 50 g clopidogrel camphosulfonate (preparation given) in 100 mL dichloromethane was added a solution of 9.1 g potassium carbonate in 70 mL water. The organic phase was separated, concentrated, and dissolved in 229 mL acetone. The solution was refluxed with 7.4 g of 80% **sulfuric** acid under N for 2 hj, the solvent was then removed and crystals separated to obtain form 2 clopidogrel hydrogen **sulfate**.  
 IT 120202-68-8P  
 RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)  
 (polymorphic clopidogrel hydrogen **sulfate** form)  
 RN 120202-68-8 CAPLUS  
 CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, (1R,4S)-7,7-dimethyl-2-oxobicyclo[2.2.1]heptane-1-methanesulfonate (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 113665-84-2  
 CMF C16 H16 Cl N O2 S

Absolute stereochemistry. Rotation (+).



CM 2  
 CRN 35963-20-3  
 CMF C10 H16 O4 S

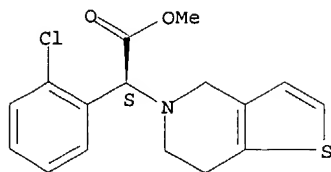
Absolute stereochemistry. Rotation (-).



IT 120202-66-6P, Clopidogrel hydrogen **sulfate**  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (polymorphic clopidogrel hydrogen **sulfate** form)  
 RN 120202-66-6 CAPLUS  
 CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate (1:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 113665-84-2  
 CMF C16 H16 Cl N O2 S

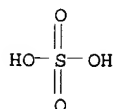
Absolute stereochemistry. Rotation (+).

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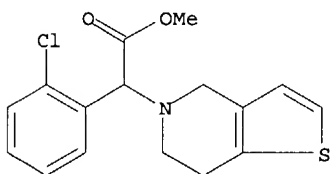


CM 2

CRN 7664-93-9  
CMF H2 O4 S



IT 130209-90-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(polymorphic clopidogrel hydrogen **sulfate** form)  
RN 130209-90-4 CAPLUS  
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:722513 CAPLUS

DN 126:1200

TI Method for the secondary prevention of ischemic events

IN Herbert, Jean-marc; Frehel, Daniel; Bernat, Andre; Badorc, Alain; Savi, Pierre; Delebassee, Denis; Kieffer, Gilles; Defreyn, Ghislain; Maffrand, Jean-pierre

PA Elf Sanofi SA, Fr.

SO U.S., 5 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5576328	A	19961119	US 1994-190332	19940131
PRAI	US 1994-190332		19940131		

AB The invention relates to a new method for the secondary prevention of ischemic events comprising administering to a man a therapeutically effective amount of a compound selected from clopidogrel and its pharmaceutically acceptable acid addition salts in association with a pharmaceutically acceptable carrier.

IT 113665-84-2, Clopidogrel 120202-66-6, Clopidogrel hydrogen sulfate

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

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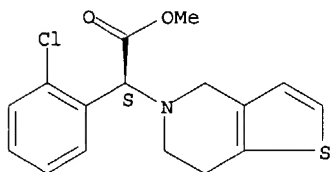
(Uses)

(clopidogrel for secondary prevention of ischemic events)

RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 120202-66-6 CAPLUS

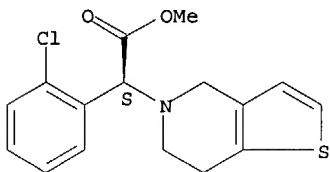
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

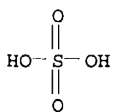
Absolute stereochemistry. Rotation (+).



CM 2

CRN 7664-93-9

CMF H2 O4 S



L14 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:584872 CAPLUS

DN 117:184872

TI Methyl (S)-(chlorophenyl)(tetrahydrothienopyridinyl)acetate for treatment of heart disorders

IN Shibano, Toshiro; Morishima, Yoshuki

PA Daiichi Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF

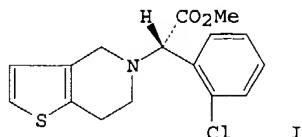
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04164033	A2	19920609	JP 1990-291002	19901026
	JP 2949366	B2	19990913		
PRAI	JP 1990-291002		19901026		
GI					

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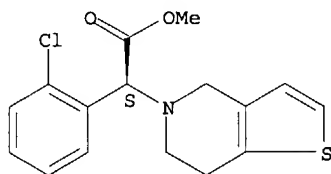
AB Title compound I, or its salts, is useful for prophylactic and therapeutic treatment of heart disorders (e.g. angina pectoris, myocardial infarction, and heart failure). Administration of I sulfate at 3 mg/kg i.v. inhibited coronary circulation failure in dogs. LD50 of I sulfate was 2603 and 2379 mg/kg p.o. in male and female mice, resp.

IT 113665-84-2 144077-07-6  
RL: BIOL (Biological study)  
(heart disorders treatment by)

RN 113665-84-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 144077-07-6 CAPLUS

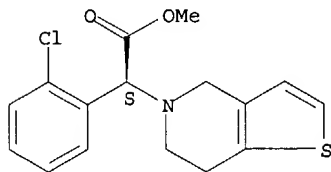
CN Thieno[3,2-c]pyridine-5(4H)-acetic acid,  $\alpha$ -(2-chlorophenyl)-6,7-dihydro-, methyl ester, (S)-, sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 113665-84-2

CMF C16 H16 Cl N O2 S

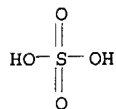
Absolute stereochemistry. Rotation (+).



CM 2

CRN 7664-93-9

CMF H2 O4 S



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(FILE 'HOME' ENTERED AT 17:23:59 ON 16 JUN 2004)

FILE 'MEDLINE' ENTERED AT 17:24:21 ON 16 JUN 2004

L1 87 S HYDROGEN SULFATE  
L2 56 S ALKYL SULFATE OR (ALKYL SULFATE)  
L3 25 S HYDROGEN SULFATE  
L4 110 S L1 OR L3  
L5 0 S L4 AND L2

FILE 'CAPLUS' ENTERED AT 17:26:00 ON 16 JUN 2004

L6 6805 S HYDROGEN SULFATE OR (HYDROGEN SULFATE)  
L7 5050 S (ALKYL SULFATE) OR ALKYL SULFATE  
L8 12 S L6 (P) L7  
L9 8 S L8 AND SALT?

FILE 'MEDLINE' ENTERED AT 17:34:09 ON 16 JUN 2004

L10 1 S L2 AND PLATELET?  
L11 0 S L2 AND THROMBOSIS  
L12 6 S L2 AND SALT?

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=> d 1-6 bib abs kwic

L12 ANSWER 1 OF 6 MEDLINE on STN  
AN 2002664879 MEDLINE  
DN PubMed ID: 12425478  
TI Dissolution and partitioning behavior of hydrophobic ion-paired compounds.  
AU Lengsfeld C S; Pitera D; Manning M; Randolph T W  
CS University of Colorado at Boulder, Department of Chemical Engineering,  
Engineering Center, 80309, USA.  
SO Pharmaceutical research, (2002 Oct) 19 (10) 1572-6.  
Journal code: 8406521. ISSN: 0724-8741.  
CY United States  
DT Journal; Article; (JOURNAL ARTICLE)  
LA English  
FS Priority Journals  
EM 200304  
ED Entered STN: 20021112  
Last Updated on STN: 20030425  
Entered Medline: 20030424  
AB PURPOSE: This study was conducted to determine the effects of counterion hydrophobicity on organic/aqueous partition coefficients for hydrophobic ion paired (HIP) complexes. Furthermore, the coupled dissolution and reverse ion-exchange kinetics for dissolution of HIP complexes into aqueous electrolyte solutions were measured and mathematically modeled. METHODS: HIP complexes of model drugs tacrine and 1-phenylephrine were formed using linear sodium alkylsulfates and bis (2-ethylhexyl sodium sulfosuccinate). Equilibrium partition coefficients between chloroform and aqueous solutions for the complexes and the kinetics of dissolution of the complexes in buffered aqueous solutions were measured. RESULTS: The chloroform/aqueous partition coefficients for 1-phenylephrine/bis (2-ethylhexyl sodium sulfosuccinate) complexes decrease with increasing molar surface tension increment of salts added to the aqueous solution. The logarithm of the partition coefficient for a homologous series of alkyl sulfate complexes decreases as the hydrophilic-lipophilic balance number increases. Dissolution of HIP complexes in deionized water shows first order kinetics, whereas dissolution in aqueous electrolyte solutions shows biphasic kinetics. A kinetic model explains these dissolution rates. CONCLUSIONS: Solubility and dissolution rates for HIP complexes depend on the hydrophobic-lipophilic balance number of the organic counter ion as well as on the electrolyte composition of aqueous solutions. Reverse ion-exchange kinetics are sufficiently slow to allow HIP complexes to be considered simple prodrugs.  
AB . . . measured. RESULTS: The chloroform/aqueous partition coefficients for 1-phenylephrine/bis (2-ethylhexyl sodium sulfosuccinate) complexes decrease with increasing molar surface tension increment of salts added to the aqueous solution. The logarithm of the partition coefficient for a homologous series of alkyl sulfate complexes decreases as the hydrophilic-lipophilic balance number increases. Dissolution of HIP complexes in deionized water shows first order kinetics, whereas. . .  
L12 ANSWER 2 OF 6 MEDLINE on STN  
AN 92272434 MEDLINE  
DN PubMed ID: 1590583  
TI Liquid chromatographic separation of alkanesulfonate and alkyl sulfate surfactants: effect of ionic strength.  
AU Zhou D; Pietrzyk D J  
CS University of Iowa, Chemistry Department, Iowa City 52242.  
NC 8613  
SO Analytical chemistry, (1992 May 1) 64 (9) 1003-8.  
Journal code: 0370536. ISSN: 0003-2700.  
CY United States  
DT Journal; Article; (JOURNAL ARTICLE)  
LA English  
FS Priority Journals  
EM 199206  
ED Entered STN: 19920710  
Last Updated on STN: 19920710  
Entered Medline: 19920622  
AB The retention of alkanesulfonate and alkyl sulfate surfactants, which was determined on a reversed stationary phase as a function of mobile-phase ionic strength, is consistent with a double-layer type interaction at the stationary-phase surface. Increasing the mobile-phase ionic strength not only increases retention but also improves resolution because peak widths are significantly reduced. The type of cation provided by the ionic strength salt also enhances retention, reduces peak width, and improves resolution. Lithium hydroxide



is an ideal electrolyte for the separation of multicomponent mixtures of alkanesulfonate and **alkyl sulfate** surfactants. When the column effluent is passed through a postcolumn anion micromembrane suppressor, the conductivity due to the electrolyte is minimized and conductivity detection is sensitive, yielding a detection limit of about 0.3 nmol of injected analyte for a 3:1 signal:noise ratio. Multicomponent alkanesulfonate and **alkyl sulfate** mixtures from C2 to C18 are baseline resolved by using a mobile-phase gradient whereby CH3CN concentration increases and LiOH concentration decreases.

TI Liquid chromatographic separation of alkanesulfonate and **alkyl sulfate** surfactants: effect of ionic strength.

AB The retention of alkanesulfonate and **alkyl sulfate** surfactants, which was determined on a reversed stationary phase as a function of mobile-phase ionic strength, is consistent with a . . . retention but also improves resolution because peak widths are significantly reduced. The type of cation provided by the ionic strength **salt** also enhances retention, reduces peak width, and improves resolution. Lithium hydroxide is an ideal electrolyte for the separation of multicomponent mixtures of alkanesulfonate and **alkyl sulfate** surfactants. When the column effluent is passed through a postcolumn anion micromembrane suppressor, the conductivity due to the electrolyte is . . . sensitive, yielding a detection limit of about 0.3 nmol of injected analyte for a 3:1 signal:noise ratio. Multicomponent alkanesulfonate and **alkyl sulfate** mixtures from C2 to C18 are baseline resolved by using a mobile-phase gradient whereby CH3CN concentration increases and LiOH concentration. . . .

L12 ANSWER 3 OF 6 MEDLINE on STN

AN 89340739 MEDLINE

DN PubMed ID: 2547806

TI Separation and indirect detection of alkyl sulfonates and sulfates.

AU Pietrzyk D J; Rigas P G; Yuan D X

CS University of Iowa, Chemistry Department, Iowa City 52240.

NC DE 8613 (NIDCR)

SO Journal of chromatographic science, (1989 Aug) 27 (8) 485-90.

Journal code: 0173225. ISSN: 0021-9665.

CY United States

DT Journal; Article; (JOURNAL ARTICLE)

LA English

FS Priority Journals

EM 198909

ED Entered STN: 19900309

Last Updated on STN: 20000303

Entered Medline: 19890921

AB Iron(II) 1,10-phenanthroline, Fe(phen)3(2+), **salts** are used as mobile phase additives for the liquid chromatographic separation of alkyl sulfonates and sulfates on the reversed-phase PRP-1. As alkyl chain length increases retention increases. For a given chain length an **alkyl sulfate** is more retained than the corresponding alkyl sulfonate. Major elution variables that affect retention are mobile phase solvent and counteranion concentration. Indirect photometric detection is used to detect alkyl sulfonates and sulfates at 510 nm where Fe(phen)3(2+) **salts** absorb. Conditions for isocratic and gradient elution of multicomponent mixtures are described. Detection limits depending on analyte approached 0.1 nmol for isocratic elution and 3 nmol for gradient elution.

AB Iron(II) 1,10-phenanthroline, Fe(phen)3(2+), **salts** are used as mobile phase additives for the liquid chromatographic separation of alkyl sulfonates and sulfates on the reversed-phase PRP-1. As alkyl chain length increases retention increases. For a given chain length an **alkyl sulfate** is more retained than the corresponding alkyl sulfonate. Major elution variables that affect retention are mobile phase solvent and counteranion concentration. Indirect photometric detection is used to detect alkyl sulfonates and sulfates at 510 nm where Fe(phen)3(2+) **salts** absorb. Conditions for isocratic and gradient elution of multicomponent mixtures are described. Detection limits depending on analyte approached 0.1 nmol. . . .

L12 ANSWER 4 OF 6 MEDLINE on STN

AN 62115651 MEDLINE

DN PubMed ID: 13924023

TI Studies on surface activation of medicinals. VI. **Salt** formation of **alkylsulfate** of various amino compounds. (2). On various basic medicines.

AU UTSUMI I; HARADA K

SO Japanese journal of pharmacology, (1962 Jan) 82 108-14.

Journal code: 2983305R. ISSN: 0021-5198.

DT Journal; Article; (JOURNAL ARTICLE)

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LA Japanese  
FS OLDMEDLINE  
EM 199811  
ED Entered STN: 19990716  
Last Updated on STN: 19990716  
Entered Medline: 19981101  
TI Studies on surface activation of medicinals. VI. **Salt** formation  
of **alkylsulfate** of various amino compounds. (2). On various  
basic medicines.

L12 ANSWER 5 OF 6 MEDLINE on STN  
AN 62115650 MEDLINE  
DN PubMed ID: 13924022  
TI Studies on surface activation of medicinals. V. **Salt** formations  
of **alkylsulfate** of various amino compounds. (1). On the  
alkyl-amines and amino acids.  
AU UTSUMI I; HARADA K  
SO Japanese journal of pharmacology, (1962 Jan) 82 102-7.  
Journal code: 2983305R. ISSN: 0021-5198.  
DT Journal; Article; (JOURNAL ARTICLE)  
LA Japanese  
FS OLDMEDLINE  
EM 199811  
ED Entered STN: 19990716  
Last Updated on STN: 19990716  
Entered Medline: 19981101  
TI Studies on surface activation of medicinals. V. **Salt** formations  
of **alkylsulfate** of various amino compounds. (1). On the  
alkyl-amines and amino acids.

L12 ANSWER 6 OF 6 MEDLINE on STN  
AN 60225925 MEDLINE  
DN PubMed ID: 13854943  
TI Esters of erythromycin. IV. **Alkyl sulfate**  
**salts**.  
AU STEPHENS V C; CONINE J W; MURPHY H W  
SO Journal of the American Pharmaceutical Association. American  
Pharmaceutical Association, (1959 Nov) 48 620-2.  
Journal code: 14840180R.  
DT Journal; Article; (JOURNAL ARTICLE)  
LA English  
FS OLDMEDLINE  
EM 199811  
ED Entered STN: 19990716  
Last Updated on STN: 19990716  
Entered Medline: 19981101  
TI Esters of erythromycin. IV. **Alkyl sulfate**  
**salts**.

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(FILE 'HOME' ENTERED AT 17:23:59 ON 16 JUN 2004)

FILE 'MEDLINE' ENTERED AT 17:24:21 ON 16 JUN 2004

L1 87 S HYDROGEN SULFATE  
L2 56 S ALKYL SULFATE OR (ALKYL SULFATE)  
L3 25 S HYDROGEN SULFATE  
L4 110 S L1 OR L3  
L5 0 S L4 AND L2

FILE 'CAPLUS' ENTERED AT 17:26:00 ON 16 JUN 2004

L6 6805 S HYDROGEN SULFATE OR (HYDROGEN SULFATE)  
L7 5050 S (ALKYL SULFATE) OR ALKYL SULFATE  
L8 12 S L6 (P) L7  
L9 8 S L8 AND SALT?

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=> d 1-8 bib abs kwic

L9 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:397011 CAPLUS  
DN 138:398091  
TI Actinomycetes secondary alkylsulfatases and their use for enantioselective  
hydrolysis of secondary alkylsulfate esters  
IN Faber, Kurt; Pogorevc, Mateja; Riermeier, Thomas  
PA Degussa A.-G., Germany  
SO PCT Int. Appl., 48 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003042378	A1	20030522	WO 2002-EP12618	20021112
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

DE 10155764 A1 20030528 DE 2001-10155764 20011114  
PRAI DE 2001-10155764 A 20011114

AB The invention concerns novel alkylsulfatases obtained from Actinomycetes, especially Rhodococcus, and the use of the enzymes for enantioselective hydrolysis of secondary alkylsulfate esters to produce chiral secondary alcs. Thus, two alkylsulfatases were purified from Rhodococcus ruber and characterized. One of the enzymes had a pH optimum between 7.5 and 8.0 and a temperature optimum around 30° with 2-octylsulfate as substrate. C7-10-alkylsulfates were preferred substrates for this enzyme. Inclusion of ferrrous or ferric salts or CMAB in the reaction mixture increased the enantioselectivity of the reaction.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The invention concerns novel alkylsulfatases obtained from Actinomycetes, especially Rhodococcus, and the use of the enzymes for enantioselective hydrolysis of secondary alkylsulfate esters to produce chiral secondary alcs. Thus, two alkylsulfatases were purified from Rhodococcus ruber and characterized. One of the enzymes had a pH optimum between 7.5 and 8.0 and a temperature optimum around 30° with 2-octylsulfate as substrate. C7-10-alkylsulfates were preferred substrates for this enzyme. Inclusion of ferrrous or ferric salts or CMAB in the reaction mixture increased the enantioselectivity of the reaction.

IT 34760-88-8, 2-Octylsulfate 74403-66-0, 4-Octanol, hydrogen sulfate 74403-67-1, 3-Octanol, hydrogen sulfate 103142-09-2, 2-Nonylsulfate  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydrolysis of; actinomycetes secondary alkylsulfatases and their use for enantioselective hydrolysis of secondary alkylsulfate esters)

IT 57-09-0, Cetyltrimethylammonium bromide 7705-08-0, Ferric chloride, biological studies 7758-94-3, Ferrous chloride 15438-31-0D, Iron(2+), salts, biological studies 20074-52-6D, Iron(3+), salts, biological studies  
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)  
(in enzymic hydrolysis of sulfate esters; actinomycetes secondary alkylsulfatases and their use for enantioselective hydrolysis of secondary alkylsulfate esters)

L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:850150 CAPLUS  
DN 137:346926  
TI Alkyl sulfate salts as male contraceptives  
IN Zimmerman, Ronald  
PA USA  
SO U.S. Pat. Appl. Publ., 13 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

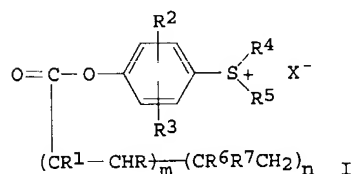
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI	US 2002164368	A1	20021107	US 2001-22671	20011217
PRAI	US 2000-256370P	P	20001218		
OS	MARPAT 137:346926				
AB	The present invention relates to a male contraceptive composition suitable for oral administration, wherein the composition comprises a pharmaceutically acceptable, non-toxic cationic salt of an alkyl sulfate. For example, tetradecyl sodium sulfate administered orally at a dose of 10 mg/kg to male rabbits inhibited the fertilization. Tetradecyl sodium sulfate was observed to bind to the entire sperm plasma membranes and not just to acrosomes.				
TI	Alkyl sulfate salts as male contraceptives				
AB	The present invention relates to a male contraceptive composition suitable for oral administration, wherein the composition comprises a pharmaceutically acceptable, non-toxic cationic salt of an alkyl sulfate. For example, tetradecyl sodium sulfate administered orally at a dose of 10 mg/kg to male rabbits inhibited the fertilization. Tetradecyl sodium sulfate was observed to bind to the entire sperm plasma membranes and not just to acrosomes.				
ST	alkyl sulfate cationic salt oral male contraceptive				
IT	Sperm (binding to plasma membrane of; alkyl sulfate salts as oral male contraceptives)				
IT	Drug delivery systems (capsules, soft; alkyl sulfate salts as oral male contraceptives)				
IT	Drug delivery systems (capsules; alkyl sulfate salts as oral male contraceptives)				
IT	Drug delivery systems (injections, s.c.; alkyl sulfate salts as oral male contraceptives)				
IT	Contraceptives (male, oral; alkyl sulfate salts as oral male contraceptives)				
IT	Contraceptives (oral, male; alkyl sulfate salts as oral male contraceptives)				
IT	Drug delivery systems (suspensions, oral; alkyl sulfate salts as oral male contraceptives)				
IT	Drug delivery systems (tablets; alkyl sulfate salts as oral male contraceptives)				
IT	Drug delivery systems (transdermal; alkyl sulfate salts as oral male contraceptives)				
IT	112-03-8 139-96-8 142-78-9 142-87-0, Sodium n-decyl sulfate 143-00-0 1072-24-8, Sodium n-undecyl sulfate 1119-97-7 1120-01-0, Sodium n-hexadecyl sulfate 1120-04-3 1191-50-0, Sodium n-tetradecyl sulfate 1241-94-7 1847-55-8, Sodium oleyl sulfate 2627-35-2 2673-22-5 2958-09-0 3006-15-3 3026-63-9 3700-67-2 3921-30-0 4671-75-4 4721-24-8 4724-48-5 5137-70-2 5910-79-2, Sodium n-heptadecyl sulfate 6482-41-3, Sodium 2-tetradecyl sulfate 6858-55-5, 2-Octadecanol, hydrogen sulfate, sodium salt 6874-60-8 6920-63-4, Sodium 8-hexadecyl sulfate 6920-74-7, Sodium 7-hexadecyl sulfate 9004-98-2 10054-29-2 13177-49-6 13177-50-9 13393-71-0 13419-37-9 14167-87-4 15724-25-1 17006-05-2 18695-78-8 25446-91-7 29454-05-5 36873-80-0 52304-21-9 52886-14-3 59378-31-3 68105-02-2 69214-95-5 71215-57-1 71317-49-2 78204-48-5 78204-49-6 78204-50-9, 6-Tetradecanol, hydrogen sulfate, sodium salt 78204-51-0, 7-Tetradecanol, hydrogen sulfate, sodium salt 78204-53-2, 9-Octadecanol, hydrogen sulfate, sodium salt 78204-55-4 78204-56-5 78204-57-6 78204-58-7 79395-72-5 109727-48-2 119159-04-5 142474-86-0 338734-65-9 338734-66-0 474498-34-5 474498-37-8 474498-38-9 474498-39-0 474498-40-3 474534-59-3 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (alkyl sulfate salts as oral male contraceptives)				
IT	9068-57-9, Acrosin 37326-33-3, Hyaluronidase RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibition of; alkyl sulfate salts as oral male contraceptives)				
L9	ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN				
AN	1993:562460 CAPLUS				
DN	119:162460				
TI	Sulfonium salt group-containing polymers and their use as				

10686666

additives in coatings  
 IN Muraoka, Tokuyuki; Takashita, Katsushige; Akashi, Sumio; Koizumi, Tatsuya;  
 Nagai, Katsutoshi  
 PA Sanshin Kagaku Kogyo Kk, Japan  
 SO Jpn. Kokai Tokkyo Koho, 4 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05070720	A2	19930323	JP 1991-308613	19910911
PRAI	JP 1991-308613		19910911		
GI					



AB Title polymers I (R = H, C1-4 alkyl, Ph; R1 = H, C1-4 alkyl; R2, R3 = H, halo, C1-4 alkyl, C1-4 alkoxy; R4, R5 = C1-4 alkyl; X = alkylsulfate, halo, perchlorate, hydrogen sulfate, p-toluenesulfonate; R6, R7 = H, halo, organic group; 0 < m ≤ 100; 0 ≤ n < 100; m + n = 100) are added in 0.1-20.0% proportion to coatings as thickeners, dispersants, and corrosion inhibitors. Thus, a glass bead with 0.5 mm diameter fell 35 cm in 18.21 s through a water-based acrylic paint containing 5% 4-dimethylsulfoniophenyl methacrylate methylsulfate-styrene copolymer (II) vs. 15.74 s in the absence of II.

TI Sulfonium salt group-containing polymers and their use as additives in coatings

AB Title polymers I (R = H, C1-4 alkyl, Ph; R1 = H, C1-4 alkyl; R2, R3 = H, halo, C1-4 alkyl, C1-4 alkoxy; R4, R5 = C1-4 alkyl; X = alkylsulfate, halo, perchlorate, hydrogen sulfate, p-toluenesulfonate; R6, R7 = H, halo, organic group; 0 < m ≤ 100; 0 ≤ n < 100; m + n = 100) are added in 0.1-20.0% proportion to coatings as thickeners, dispersants, and corrosion inhibitors. Thus, a glass bead with 0.5 mm diameter fell 35 cm in 18.21 s through a water-based acrylic paint containing 5% 4-dimethylsulfoniophenyl methacrylate methylsulfate-styrene copolymer (II) vs. 15.74 s in the absence of II.

ST sulfoniophenyl acrylate salt copolymer thickener; dispersant  
 sulfoniophenyl acrylate salt copolymer; corrosion inhibitor  
 sulfoniophenyl acrylate copolymer

L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1960:11069 CAPLUS  
 DN 54:11069  
 OREF 54:2183a-b  
 TI Guanidine and its derivatives  
 IN Roberts, Elwyn  
 PA Minister of Supply, London  
 DT Patent  
 LA Unavailable  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2884437		19590428	US	
AB	A process for the com. feasible preparation of guanidine and derivs. of guanidine, e.g., nitroguanidine, which is an important flashless high explosive and useful projectile propellant, consists of treating urea with a dialkyl sulfate to produce an alkyl isourea alkyl hydrogen sulfate then treating the alkyl isourea alkyl hydrogen sulfate to produce guanidinium alkyl sulfate (I), treating this with an alkali or alkali alcoholate to produce guanidine (II), and treating this with nitric and sulfuric acids to produce nitroguanidine (III). Hydrolyzing the I to guanidinium hydrogen sulfate, nitrating the guanidinium hydrogen sulfate with nitric and sulfuric acids, to				

*intermediate*

produce III and treating the guanidinium alkyl sulfate with an alkali alcoholate to produce an alcoholic solution of guanidine, and neutralizing the solution with an acid produced the corresponding guanidine salt.

AB A process for the com. feasible preparation of guanidine and derivs. of guanidine, e.g., nitroguanidine, which is an important flashless high explosive and useful projectile propellant, consists of treating urea with a dialkyl sulfate to produce an alkyl isourea alkyl hydrogen sulfate then treating the alkyl isourea alkyl hydrogen sulfate to produce guanidinium alkyl sulfate (I), treating this with an alkali or alkali alcoholate to produce guanidine (II), and treating this with nitric and sulfuric acids to produce nitroguanidine (III). Hydrolyzing the I to guanidinium hydrogen sulfate, nitrating the guanidinium hydrogen sulfate with nitric and sulfuric acids, to produce III and treating the guanidinium alkyl sulfate with an alkali alcoholate to produce an alcoholic solution of guanidine, and neutralizing the solution with an acid produced the corresponding guanidine salt.

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1960:10977 CAPLUS

DN 54:10977

OREF 54:2167f-i

TI (Alkylthio)alkyl sulfates

IN Doerr, Edward L.

PA Monsanto Chemical Co.

DT Patent

LA Unavailable

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2909554		19591020	US	
<p>AB (Alkylthio)alkyl sulfate salts (I), useful as surface-active agents, nematocides, and fungicides, were prepared by the reaction of 2-(alkylthio)ethanols (II) (8-18 C in the alkyl radical) with chlorosulfonic acid (III) which gave the II hydrogen sulfate, which was neutralized with an alkali metal hydroxide or NH<sub>4</sub>OH to obtain I. Thus, 34.2 g. III was added dropwise to 60 ml. Et<sub>2</sub>O with ice-cooling. The mixture was added (9 min.) at 2-5° to 61.6 g. n-dodecylthioethanol in about 500 ml. Et<sub>2</sub>O, then stirred for 30 min. while in an ice bath, and the Et<sub>2</sub>O removed in vacuo. The residue was neutralized with 50% NaOH (aqueous-EtOH), excess EtOH added, the mixture heated to 50° and filtered, the filtrate cooled, the crystallized solid separated, dried in vacuo at room temperature, and treated with Me<sub>2</sub>CO in a mixer. The separated solid was washed with Me<sub>2</sub>CO and dried in vacuo at room temperature to obtain Na dodecylthioethyl sulfate. Similarly, 2-(tert-dodecylthio)ethanol was sulfated, the sulfate was neutralized with NaOH in aqueous iso-PrOH, and Na 2-(tert-dodecylthio)ethyl sulfate was obtained. The sulfation of 2-(tert-hexadecylthio)ethanol and neutralization of the hydrogen sulfate in EtOH (slight excess of NaOH) yielded Na 2-(tert-hexadecylthio)ethyl sulfate.</p>				
<p>AB (Alkylthio)alkyl sulfate salts (I), useful as surface-active agents, nematocides, and fungicides, were prepared by the reaction of 2-(alkylthio)ethanols (II) (8-18 C in the alkyl radical) with chlorosulfonic acid (III) which gave the II hydrogen sulfate, which was neutralized with an alkali metal hydroxide or NH<sub>4</sub>OH to obtain I. Thus, 34.2 g. III was added dropwise to 60 ml. Et<sub>2</sub>O with ice-cooling. The mixture was added (9 min.) at 2-5° to 61.6 g. n-dodecylthioethanol in about 500 ml. Et<sub>2</sub>O, then stirred for 30 min. while in an ice bath, and the Et<sub>2</sub>O removed in vacuo. The residue was neutralized with 50% NaOH (aqueous-EtOH), excess EtOH added, the mixture heated to 50° and filtered, the filtrate cooled, the crystallized solid separated, dried in vacuo at room temperature, and treated with Me<sub>2</sub>CO in a mixer. The separated solid was washed with Me<sub>2</sub>CO and dried in vacuo at room temperature to obtain Na dodecylthioethyl sulfate. Similarly, 2-(tert-dodecylthio)ethanol was sulfated, the sulfate was neutralized with NaOH in aqueous iso-PrOH, and Na 2-(tert-dodecylthio)ethyl sulfate was obtained. The sulfation of 2-(tert-hexadecylthio)ethanol and neutralization of the hydrogen sulfate in EtOH (slight excess of NaOH) yielded Na 2-(tert-hexadecylthio)ethyl sulfate.</p>				
7664-93-9,		Sulfuric acid		
<p>IT ((alkylthio)alkyl esters, Na salts)</p>				
56949-83-8,		Ethanol, 2-(dodecylthio)-, sulfate, Na salt		
107308-78-1,		Ethanol, 2-(tert-dodecylthio)-, sulfate, Na salt		
111411-10-0,		Ethanol, 2-(tert-hexadecylthio)-, sulfate, Na salt		
<p>(preparation of)</p>				

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1923:5483 CAPLUS

DN 17:5483

OREF 17:992g-i,993a-d

TI Esters of the hydroxyalkylarylamines. I. Acid sulfuric esters of the simple monohydroxyethylarylamines

AU Saunders, K. H.

SO Journal of the Chemical Society, Abstracts (1922), 121, 2667-75

CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA Unavailable

AB The alkylsulfuric acid group attached to N is termed the "sulfato" group, and the process of esterification as "sulfation." These esters may be prepared in 3 ways: By solution in concentrated H<sub>2</sub>SO<sub>4</sub> in such excess that esterification proceeds virtually to completion. This process is beset with the same difficulties found in the attempt to isolate EtHSO<sub>4</sub> itself in high yield and purity. A 2nd method consists in acting on arylamines with ClCH<sub>2</sub>CH<sub>2</sub>OSO<sub>3</sub>H, which has the disadvantage that the latter must be prepared from anhydrous HOC<sub>2</sub>H<sub>4</sub>Cl and the yields are not always good. The 3rd method consists in esterifying with ClSO<sub>3</sub>H which may be used alone or in an indifferent solvent. In this reaction the neutral ester is also obtained in varying amts. Chemically these sulfato compds. show reactions characteristic of the units of their structure-arylamine and alkyl sulfate. N-Phenyl-β-aminoethyl hydrogen sulfate (sulfaloethylaniline), prepared by each of the above 3 methods, rectangular laminas, m. 206°; it decolorizes Br-H<sub>2</sub>O but does not react with CuSO<sub>4</sub>. It is soluble to the extent of 5% in boiling and 1-2% in cold EtOH. It is very slowly hydrolyzed by H<sub>2</sub>O below its b. p.; HCl accelerates the hydrolysis, which has a value of k for a monomol. reaction. Practically no hydrolysis was found after heating with 0.2 or 0.8 N NaOH at 70° for 5 hrs.; heated with 3 mols. NaOH for 1 hr., 20.4% of the salt had hydrolyzed. Sodium salt, with 1 H<sub>2</sub>O, leaflets, soluble to the extent of 60 g. per 100 cc. of solution at 15°; potassium salt, leaflets; 23 parts dissolve in 100 cc. H<sub>2</sub>O at 15°; ammonium salt, leaflets, m. 132°, of which 70 g. dissolve in 100 cc. H<sub>2</sub>O at 15°. N-o-Tolyl-β-aminoethyl hydrogen sulfate, rectangular laminas, m. 203°. N-Phenyl-N-ethyl-β-aminoethyl hydrogen sulfate, hard granules, m. 208°. Treated in N NaOH with solid NaNO<sub>2</sub> after which concentrated HCl was slowly added, this gave the p-nitroso derivative, dark green dust, decomposing 170-80°, readily reduced in alkaline solution N-Phenyl-N-methyl-β-aminoethyl hydrogen sulfate, m. 193°. Sodium N-phenyl-N-benzyl-β-aminoethyl sulfate, shining crystals with 2H<sub>2</sub>O, which it loses at 100° and then m. to a waxy mass; the free acid could not be obtained crystalline N-m-Nitrophenyl-β-aminoethyl hydrogen sulfate, stout pale cream needles, m. 203° (decomposition). The alkaline solution is a deep orange. m-Nitroaniline salt, large pale yellow laminas, m. 206°. N-p-Chlorophenyl-β-aminoethyl hydrogen sulfate, needles, m. 217° (decomposition). N-α-Naphthyl derivative, m. 234° (decomposition). The coupling with diazo salts in the p-position to the sulfato group will be described in a later article. See also Brit. patent 181,750 of 1922.

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 N-phenyl-N-benzyl-β-aminoethyl sulfate, shining crystals with 2H<sub>2</sub>O,  
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 needles, m. 217° (decomposition). N-α-Naphthyl derivative, m.  
 234° (decomposition). The coupling with diazo **salts** in the  
 p-position to the sulfato group will be described in a later article. See  
 also Brit. patent 181,750 of 1922.

- IT Aniline, sulfatoethyl-  
 Ethylsulfuric acid, β-(N-benzylanilino)-, sodium **salt**  
 Ethylsulfuric acid, β-(N-ethyl-p-nitrosoanilino)-  
 Ethylsulfuric acid, β-(N-ethylanilino)-  
 Ethylsulfuric acid, β-(N-methylanilino)-  
 Ethylsulfuric acid, β-(m-nitroanilino)-  
 Ethylsulfuric acid, β-(m-nitroanilino)-, m-nitroanilino **salt**  
 Ethylsulfuric acid, β-(p-chloroanilino)-  
 Ethylsulfuric acid, β-o-toluino-  
 IT Ethylsulfuric acid, β-anilino-  
 (and **salts**)

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1918:12868 CAPLUS

DN 12:12868

OREF 12:2195c-h

TI Hydrolysis of methyl sulfate and ethyl sulfate with sodium methoxide or  
 ethoxide

AU Pollak, J.; Baar, A.

SO Journal of the Chemical Society, Abstracts (1918), 114(II), 361

CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA Unavailable

AB Me<sub>2</sub>SO<sub>4</sub> undergoes hydrolysis by H<sub>2</sub>O more rapidly than Et<sub>2</sub>SO<sub>4</sub>, but in the  
 presence of KOH the ratio of the reaction velocities is very different  
 from that observed for the hydrolysis by H<sub>2</sub>O only. With 0.5 N KOH at  
 25°, the unimol. constant for MeOH is 45 times as great as for the  
 Et<sub>2</sub>SO<sub>4</sub>, whereas with H<sub>2</sub>O only the ratio is approx. 5:1. In order to  
 decide whether the difference is due to the difference in the solubility of the  
 2 esters in H<sub>2</sub>O, and to avoid the possibility of such a disturbing factor,  
 it is desirable to exam. the rate of reaction in a homogeneous system.  
 Kremann has already observed that with MeOH and EtOH, the rate of reaction  
 of reaction of Me<sub>2</sub>SO<sub>4</sub> is 3-4 times that of Et<sub>2</sub>SO<sub>4</sub>. With an alc. solution of  
 EtONa, however, at 25° Me<sub>2</sub>SO<sub>4</sub> reacts approx. 25 times as rapidly as  
 Et<sub>2</sub>SO<sub>4</sub>, while at 0° the ratio is 58 to 1. The reaction in each  
 case proceeds as far as the corresponding alkyl **hydrogen**  
**sulfate** or its Na **salt**, any further hydrolysis being  
 negligible. These results demonstrate that the great difference in the  
 velocities of reaction of alkali on the two alkyl sulfates is not mainly  
 due to any difference of solubility on the part of the sulfates, because a  
 similar difference is observed in homogeneous and heterogeneous system.  
 The difference is, therefore, presumably to be attributed to the different  
 character of the reactions, the alkali hydrolysis yielding the alkali  
**salt** of the alkylsulfuric acid and while the free alkylsulfuric acid is  
 produced by the action of H<sub>2</sub>O or of alc. Examination of the reaction velocity  
 of Et<sub>2</sub>SO<sub>4</sub> and Me<sub>2</sub>SO<sub>4</sub> with alc. in the presence of a gradually increasing  
 portion of water shows that the former ester is distinctly less soluble in  
 H<sub>2</sub>O and that the difference in the solubility of the 2 esters may exert an  
 appreciable influence on the relative apparent activity of the two esters  
 towards alkali hydroxide in the heterogeneous system. MeOH reacts with  
 the 2 alkyl sulfates more rapidly than does EtOH, and although it was  
 found that, as expected, NaOMe affects the Me ester much more rapidly than  
 the Et ester. the surprising result was obtained that MeONa in MeOH is  
 less reactive than an EtOH solution of EtONa. An observation similar to this  
 has already been made in certain cases. The suggestion of Kremann that  
 the difference is due to the presence of traces of H<sub>2</sub>O which causes a  
 greater proportion of hydrolysis in the NaOEt is discredited and the  
 suggestion is made that the explanation may be found in the possible  
 occurrence of the reaction between the alkyl **sulfate**

and the undissociated portion of the Na alkoxide.M

AB Me2SO4 undergoes hydrolysis by H2O more rapidly than Et2SO4, but in the presence of KOH the ratio of the reaction velocities is very different from that observed for the hydrolysis by H2O only. With 0.5 N KOH at 25°, the unimol. constant for MeOH is 45 times as great as for the Et2SO4, whereas with H2O only the ratio is approx. 5:1. In order to decide whether the difference is due to the difference in the solubility of the 2 esters in H2O, and to avoid the possibility of such a disturbing factor, it is desirable to exam. the rate of reaction in a homogeneous system. Kremann has already observed that with MeOH and EtOH, the rate of reaction of reaction of Me2SO4 is 3-4 times that of Et2SO4. With an alc. solution of EtONa, however, at 25° Me2SO4 reacts approx. 25 times as rapidly as Et2SO4, while at 0° the ratio is 58 to 1. The reaction in each case proceeds as far as the corresponding alkyl hydrogen sulfate or its Na salt, any further hydrolysis being negligible. These results demonstrate that the great difference in the velocities of reaction of alkali on the two alkyl sulfates is not mainly due to any difference of solubility on the part of the sulfates, because a similar difference is observed in homogeneous and heterogeneous system. The difference is, therefore, presumably to be attributed to the different character of the reactions, the alkali hydrolysis yielding the alkali salt of the alkylsulfuric and while the free alkylsulfuric acid is produced by the action of H2O or of alc. Examination of the reaction velocity of Et2SO4 and Me2SO4 with alc. in the presence of a gradually increasing portion of water shows that the former ester is distinctly less soluble in H2O and that the difference in the solubility of the 2 esters may exert an appreciable influence on the relative apparent activity of the two esters towards alkali hydroxide in the heterogeneous system. MeOH reacts with the 2 alkyl sulfates more rapidly than does EtOH, and although it was found that, as expected, NaOMe affects the Me ester much more rapidly than the Et ester. the surprising result was obtained that MeONa in MeOH is less reactive than an EtOH solution of EtONa. An observation similar to this has already been made in certain cases. The suggestion of Kremann that the difference is due to the presence of traces of H2O which causes a greater proportion of hydrolysis in the NaOEt is discredited and the suggestion is made that the explanation may be found in the possible occurrence of the reaction between the alkyl sulfate and the undissociated portion of the Na alkoxide.M

L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1918:12867 CAPLUS

DN 12:12867

OREF 12:2195c-h

TI Hydrolysis of methyl sulfate and ethyl sulfate with sodium methoxide or ethoxide

AU Pollak, J.; Baar, A.

SO Monatshefte fuer Chemie (1918), 38, 501-23

CODEN: MOCMB7; ISSN: 0026-9247

DT Journal

LA Unavailable

AB Me2SO4 undergoes hydrolysis by H2O more rapidly than Et2SO4, but in the presence of KOH the ratio of the reaction velocities is very different from that observed for the hydrolysis by H2O only. With 0.5 N KOH at 25°, the unimol. constant for MeOH is 45 times as great as for the Et2SO4, whereas with H2O only the ratio is approx. 5:1. In order to decide whether the difference is due to the difference in the solubility of the 2 esters in H2O, and to avoid the possibility of such a disturbing factor, it is desirable to exam. the rate of reaction in a homogeneous system. Kremann has already observed that with MeOH and EtOH, the rate of reaction of reaction of Me2SO4 is 3-4 times that of Et2SO4. With an alc. solution of EtONa, however, at 25° Me2SO4 reacts approx. 25 times as rapidly as Et2SO4, while at 0° the ratio is 58 to 1. The reaction in each case proceeds as far as the corresponding alkyl hydrogen sulfate or its Na salt, any further hydrolysis being negligible. These results demonstrate that the great difference in the velocities of reaction of alkali on the two alkyl sulfates is not mainly due to any difference of solubility on the part of the sulfates, because a similar difference is observed in homogeneous and heterogeneous system. The difference is, therefore, presumably to be attributed to the different character of the reactions, the alkali hydrolysis yielding the alkali salt of the alkylsulfuric and while the free alkylsulfuric acid is produced by the action of H2O or of alc. Examination of the reaction velocity of Et2SO4 and Me2SO4 with alc. in the presence of a gradually increasing portion of water shows that the former ester is distinctly less soluble in H2O and that the difference in the solubility of the 2 esters may exert an appreciable influence on the relative apparent activity of the two esters towards alkali hydroxide in the heterogeneous system. MeOH reacts with the 2 alkyl sulfates more rapidly than does EtOH, and although it was

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AB Me<sub>2</sub>SO<sub>4</sub> undergoes hydrolysis by H<sub>2</sub>O more rapidly than Et<sub>2</sub>SO<sub>4</sub>, but in the presence of KOH the ratio of the reaction velocities is very different from that observed for the hydrolysis by H<sub>2</sub>O only. With 0.5 N KOH at 25°, the unimol. constant for MeOH is 45 times as great as for the Et<sub>2</sub>SO<sub>4</sub>, whereas with H<sub>2</sub>O only the ratio is approx. 5:1. In order to decide whether the difference is due to the difference in the solubility of the 2 esters in H<sub>2</sub>O, and to avoid the possibility of such a disturbing factor, it is desirable to exam. the rate of reaction in a homogeneous system. Kremann has already observed that with MeOH and EtOH, the rate of reaction of reaction of Me<sub>2</sub>SO<sub>4</sub> is 3-4 times that of Et<sub>2</sub>SO<sub>4</sub>. With an alc. solution of EtONa, however, at 25° Me<sub>2</sub>SO<sub>4</sub> reacts approx. 25 times as rapidly as Et<sub>2</sub>SO<sub>4</sub>, while at 0° the ratio is 58 to 1. The reaction in each case proceeds as far as the corresponding **alkyl hydrogen sulfate** or its Na salt, any further hydrolysis being negligible. These results demonstrate that the great difference in the velocities of reaction of alkali on the two alkyl sulfates is not mainly due to any difference of solubility on the part of the sulfates, because a similar difference is observed in homogeneous and heterogeneous system. The difference is, therefore, presumably to be attributed to the different character of the reactions, the alkali hydrolysis yielding the **alkali salt** of the alkylsulfuric and while the free alkylsulfuric acid is produced by the action of H<sub>2</sub>O or of alc. Examination of the reaction velocity of Et<sub>2</sub>SO<sub>4</sub> and Me<sub>2</sub>SO<sub>4</sub> with alc. in the presence of a gradually increasing portion of water shows that the former ester is distinctly less soluble in H<sub>2</sub>O and that the difference in the solubility of the 2 esters may exert an appreciable influence on the relative apparent activity of the two esters towards alkali hydroxide in the heterogeneous system. MeOH reacts with the 2 alkyl sulfates more rapidly than does EtOH, and although it was found that, as expected, NaOMe affects the Me ester much more rapidly than the Et ester. the surprising result was obtained that MeONa in MeOH is less reactive than an EtOH solution of EtONa. An observation similar to this has already been made in certain cases. The suggestion of Kremann that the difference is due to the presence of traces of H<sub>2</sub>O which causes a greater proportion of hydrolysis in the NaOEt is discredited and the suggestion is made that the explanation may be found in the possible occurrence of the reaction between the **alkyl sulfate** and the undissociated portion of the Na alkoxide.M